Welcome to STN International! Enter x:X LOGINID:ssspta1626amd PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 * * * * * * * * * Welcome to STN International NEWS Apr 08 NEWS NEWS 3 Apr 09 NEWS 4 Apr 09 ZDB will be removed from STN NEWS 5 Apr 19 IFIUDB ZCAPLUS

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Web Page URLs for STN Seminar Schedule - N. America
                "Ask CAS" for self-help around the clock
                BEILSTEIN: Reload and Implementation of a New Subject Area
                US Patent Applications available in IFICDB, IFIPAT, and
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and
NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 9 Jun 03 New e-mail delivery for search results now available
NEWS 10 Jun 10 MEDLINE Reload
                PCTFULL has been reloaded
NEWS 11 Jun 10
NEWS 12
                FOREGE no longer contains STANDARDS file segment
        Jul 02
NEWS 13 Jul 22
                USAN to be reloaded July 28, 2002;
                saved answer sets no longer valid
NEWS 14 Jul 29
                Enhanced polymer searching in REGISTRY
        Jul 30 NETFIRST to be removed from STN
NEWS 15
                CANCERLIT reload
NEWS 16 Aug 08
NEWS 17 Aug 08
                PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                now available on STN
NEWS 20 Aug 19
                IFIPAT, IFICDB, and IFIUDB have been reloaded
                The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 21 Aug 19
NEWS 22 Aug 26
                Sequence searching in REGISTRY enhanced
                JAPIO has been reloaded and enhanced
NEWS 23
        Sep 03
NEWS 24
        Sep 16
                Experimental properties added to the REGISTRY file
NEWS 25 Sep 16
                CA Section Thesaurus available in CAPLUS and CA
NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27 Oct 21 EVENTLINE has been reloaded
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34
        Dec 04
                CSA files on STN
NEWS 35
        Dec 17
                PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17
                TOXCENTER enhanced with additional content
NEWS 37
```

Dec 17 Adis Clinical Trials Insight now available on STN NEWS 38 Dec 30 ISMEC no longer available

```
NEWS 39 Jan 21 NUTRACEUT offering one free connect hour in February 2003
NEWS 40 Jan 21 PHARMAML offering one free connect hour in February 2003
NEWS 41 Jan 29 Simultaneous left and right truncation added to COMPENDEX,
                   ENERGY, INSPEC
NEWS 42 Feb 13 CANCERLIT is no longer being updated
NEWS 43 Feb 24 METADEX enhancements
NEWS 44 Feb 24 PCTGEN now available on STN
NEWS 45 Feb 24 TEMA now available on STN
NEWS 46 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 47 Feb 26 PCTFULL now contains images
NEWS 48 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results NEWS 49 Mar 19 APOLLIT offering free connect time in April 2003
NEWS 50 Mar 20 EVENTLINE will be removed from STN
NEWS 51 Mar 24 PATDPAFULL now available on STN
NEWS 52 Mar 24 Additional information for trade-named substances without
                   structures available in REGISTRY
NEWS 53 Mar 24 Indexing from 1957 to 1966 added to records in CA/CAPLUS
NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
               CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS
                STN Operating Hours Plus Help Desk Availability
NEWS INTER
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NEWS WWW
              CAS World Wide Web Site (general information)
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FILE 'HOME' ENTERED AT 12:48:38 ON 02 APR 2003

=> fil reg COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 12:48:43 ON 02 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7 DICTIONARY FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

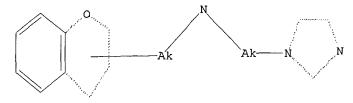
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Uploading 09980452.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

34 ANSWERS

=> s 11 ful

FULL SEARCH INITIATED 12:49:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45478 TO ITERATE

100.0% PROCESSED 45478 ITERATIONS SEARCH TIME: 00.00.01

L2 34 SEA SSS FUL L1

=> s 12 and caplus/lc 27100399 CAPLUS/LC

L3 15 L2 AND CAPLUS/LC

=> s 12 not 13

L4 19 L2 NOT L3

=> d 1-19

ANSWER 1 OF 19 REGISTRY COPYRIGHT 2003 ACS
438455-95-9 REGISTRY
9H-Xanthen-9-carboxamide, N-[3-(1H-imidazol-1-y1)propyl]- (9CI) (CA
INDEX NAME)
3D CONCORD
C20 H19 N3 02
Chemical Library
STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 3 OF 19 REGISTRY COPYRIGHT 2003 ACS RN 377767-99-2 REGISTRY CN 4H-1-Benzopyran-2-carboxamide, N-[3-(1H-imidazol-1-y1)propyl]-4-oxo-(9C1)

(CA INDEX NAME)
3D CONCORD
C16 H15 N3 03
Chemical Library
STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 / RN / CN / (9CI)

ANSWER 2 OF 19 REGISTRY COPYRIGHT 2003 ACS
438022-05-0 REGISTRY
2H-1-Benzopyran-3-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-2-oxo-

(9CI)
(CA INDEX NAME)

S 3D CONCORD

HF C16 H15 N3 03

SR Chemical Library

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

FS MF SR LC

Chemical Library STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 ANSWER 7 OF 19 REGISTRY COPYRIGHT 2003 ACS RN 320757-46-8 REGISTRY COPYRIGHT 2003 ACS CN 2H-1-Benzopyran-3-carboxamide, 6-bromo-N-[[3-(4'-hydroxy[1,1'-biphenyl]-4-

yll-1, 2,4-oxadiazol-5-yllmethyl]-N-[3-(lH-imidazol-1-yl)propyl]-2-oxo-(9C1) (CA INDEX NAME) s 3D CONCORD MF C31 H24 Br NS 05 S Chemical Library LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 RN CN

ANSWER 6 OF 19 REGISTRY COPYRIGHT 2003 ACS 320761-58-8 REGISTRY CHI-bencopyran-3-carboxamide, 6-bromo-N-[[3-(3-hydroxypheny1)-1,2,4-oxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2-oxo- (9CI)

(CA

INDEX NAME) 3D CONCORD C25 H20 Br N5 O5 Chemical Library

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 8 OF 19 REGISTRY COPYRIGHT 2003 ACS 320732-57-8 REGISTRY 2H-1-Bencopyran-3-carboxamide, N-[[3-{4'-hydroxy[1,1'-biphenyl]-4-y1)-1,2,4-oxadiazol-5-y1]methyl]-N-[3-(1H-imidazol-1-y1)propyl]-2-oxo-

(9CI) I)
(CA INDEX NAME)
3D CONCORD
C31 H25 N5 O5
Chemical Library
STN Files: CHEMCATS

FS MF SR LC

L4 ANSWER 9 OF 19 REGISTRY COPYRIGHT 2003 ACS RN 320623-00-5 REGISTRY COPYRIGHT 2003 ACS CN 4H-1-Benzopyran-2-carboxamide, N-[[3-(4'-hydroxy[],1'-biphenyl]-4-yl)-

(9CI) (CA INDEX NAME) 3D CONCORD C32 H27 N5 O5 Chemical Library

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

(CA

INDEX NAME) 3D CONCORD C25 H20 Br N5 05 Chemical Library FS MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 ANSWER 12 OF 19 REGISTRY COPYRIGHT 2003 ACS
RN 318993-37-2 REGISTRY
CN 2H-1-Benzopyran-3-carboxamide,
N-[[3-[4-bydroxyphenyl]-1,2,4-oxadiazol-5y1]methyl]-N-[3-[1H-imidazol-1-y1]propyl]-2-oxo- (9CI) (CA INDEX
NAME)
NAMES
DI CONCORD
MF C25 H21 N5 05
SR Chemical Library
LC STN Files: CHEMCATS

L4 ANSWER 13 OF 19 REGISTRY COPYRIGHT 2003 ACS
RN 318993-32-7 REGISTRY
CN 4H-1-Benzopyran-2-carboxamide,
Nr([3-(4-hydroxypheny)]-1,2,4-oxadiazol-5Yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-6-methyl-4-oxo-(9CI) (CA
INDEX
FS 3D CONCORD
FF C26 H23 N5 O5
Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L4 ANSWER 17 OF 19 REGISTRY COPYRIGHT 2003 ACS
RN 318991-26-3 REGISTRY
CN 2H-1-Benzopyran-3-carboxamide,
6-bromo-N-(7-(3-(2-fluoro-4-hydroxyphenyl)-
1, 2, 4-oxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2-oxo-
(GSI)
(CA INDEX NAME)
FS 3D CONCORD
MF C25 H19 Br F N5 05
R Chemical Library
LC SIN Files: CHEMCATS
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROF' FORMAT

Relative stereochemistry.

=>

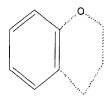
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STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR





Structure attributes must be viewed using STN Express query preparation.

=> s 15 ful

FULL SEARCH INITIATED 12:50:55 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 50136 TO ITERATE

100.0% PROCESSED 50136 ITERATIONS

6797 ANSWERS

SEARCH TIME: 00.00.01

6797 SEA SSS FUL L5 L6

=>

Uploading 09980452.str

L7 STRUCTURE UPLOADED

=> d

L7 HAS NO ANSWERS

L7

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 17 ful

FULL SEARCH INITIATED 12:54:59 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 49555 TO ITERATE

100.0% PROCESSED 49555 ITERATIONS

137 ANSWERS

SEARCH TIME: 00.00.01

137 SEA SSS FUL L7

=> s 18 and caplus/lc

27100399 CAPLUS/LC L9 114 L8 AND CAPLUS/LC

=> s 18 not 19

L10 23 L8 NOT L9

=> d 1-23

L10 ANSWER 1 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 438455-95-9 REGISTRY

SH-Kanthene-9-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA

NDEX NAME)

FS 3D CONCORD

MF C20 H19 N3 02

SR Chemical Library

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 3 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 377767-99-2 REGISTRY
CN 4H-1-Benzepyran-2-carboxamide, N-[3-(1H-imidazol-1-y1)propyl]-4-oxo(9C1)

(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C16 H15 N3 O3
FR Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 2 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 438022-05-0 REGISTRY
CN 2H-1-Benzopyran-3-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-2-oxo(9C1)

(CA INDEX NAME)
3D CONCORD
C16 H15 N3 O3
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 7 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 320761-58-8 REGISTRY
C 27t.1-Bencopyran-3-carboxamide, 6-bromo-N-[[3-(3-hydroxyphenyl)-1,2,4-oxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2-oxo-(9CI) (CA

INDEX NAME) 3D CONCORD C25 H20 Br N5 O5 Chemical Library

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 6 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 326612-92-4 REGISTRY COPYRIGHT 2003 ACS
CN 2H-1-Benzopyran-3-carboxamide,
N-(3-(Hh-imidazol-1-yl)propyl)-6-methoxy-2oxo- (9C1) (CA INDEX NAME)
S 3D CONCORD
MF C17 H17 N3 04
SC Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 8 OF 23 REGISTRY COPYRIGHT 2003 ACS

NN 320757-46-8 REGISTRY

CN 2H-1-Benzopyran-3-ca-boxamide,
6-bcmon-N-[[3-(4"-hydroxy[1,1"-biphenyl]-4yl)-1-2,4-cxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2-cxo[9CI] (CA INDEX NAME)

FS 3D CONCORD

MF C31 H24 Br NS 05

SR Chemical Library

LC STN Files: CHEMCATS

L10 ANSWER 9 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 320732-57-8 REGISTRY
CN 2H-1-Benzopyran-3-carboxamide,
N-(13-(4'-Nydroxy(1,1'-biphenyl)-4-yl)1,2,4-oxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2-oxo(GI) (CA INDEX NAME)
FS 3D CONCORD
HF C31 H25 N5 O5
SR Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 10 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 320623-00-5 REGISTRY
CN 4H-1-Benzopyran-2-carboxamide, N-[{3-(4'-hydroxy[1,1'-biphenyl]-4-yl)-1,2,4-oxadiazol-5-yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-6-methyl-4-oxo-(9CI) (CA INDEX NAME)
FS 3D CONCORD
FF C32 HZ7 N5 OS
SR Chemical Library

Me C-N-CH2 O-N
(CH2) 3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 13 OF 23 REGISTRY COPYRIGHT 2003 ACS
RM 318993-37-2 REGISTRY COPYRIGHT 2003 ACS
RM 21H-1-Bencopyran-3-carboxamide,
NF [[3-(4-)qdoxypheny]-1,2,4-oxadiszol-5N[3-(4-)qdoxypheny]-1,2,4-oxadiszol-5NAMES 3D CONCORD
MF 025 H21 N5 OS
RF Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 14 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 318993-32-7 REGISTRY
CN 4H-1-Benzopyran-2-carboxamide,
N-[(3.(4-hydroxyphapyl)-1,2,4-oxadiazol-5yl]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-6-methyl-4-oxo- (9CI) (CA
NAME)
NAME)
F3 3D CONCORD
MF C26 H23 NS O5
SC Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 17 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 318991-28-5 REGISTRY
CN 441-Bencepyran-2-carboxamide,
N-[(3) (2-f) and (3) and (3)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

OH H (CH2) 3 N H

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 ANSWER 18 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 318991-26-3 REGISTRY
CN 2H-1-Benzopyran-3-carboxamide,
6-bromo-N-{[3-(2-fluoro-4-hydroxyphany1)[3-(2-fluoro-4-hydroxyphany1)-N-[3-(1H-imidazol-1-yl)propyl]-2-cxo(SCI)
(CA INDEX NAME)
FS 30 CONCORD
MF C25 H19 Br F NS OS
SR Chemical Library
LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Absolute stereochemistry.

L10 ANSWER 21 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 206861-78-1 REGISTRY
CN Phosphonic acid,
[[[[1,6-dihydro-2-[{2-methyl-1-exopropyl)amino]-6-exo-9Hpurin-9-yl]acstyl][2-[(9-phenyl-9H-xanthen-9-yl)oxy]ethyl]amino]methyl]-,
monomethyl ester (9Cl) (CA INDEX NAME)

FS 3D COMCORD

HF C34 H35 N6 08 P

CR CA

PAGE 1-A

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L10 ANSWER 23 OF 23 REGISTRY COPYRIGHT 2003 ACS
 RN 64060-91-9 REGISTRY
 CN Glycinamide, N-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1{3H},9'-

UNYCHARMICE, N-[[(3',0'-GinyGroKy-3-oxospirGisocenzcturan-1(3H),9 [9H] wanthen]-5-yl] adin) thioxomethy] glycyl-N-[2-(1H-imidazol-1-yl)-2-OXIMEN (DEC) (CA INDEX NAME)
OTHER NAMES
ON Spirc(inchancdruran-1(3H),9'-[9H] wanthene], glycinamide deriv.
FS 3D COMORD
OFF C30 H24 N6 08 5

PAGE 1-B

_- OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PAGE 1-A

PAGE 2-A

=> fil caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 526.65 526.86

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FILE COVERS 1907 - 2 Apr 2003 VOL 138 ISS 14 FILE LAST UPDATED: 1 Apr 2003 (20030401/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

L1

(FILE 'HOME' ENTERED AT 12:48:38 ON 02 APR 2003)

FILE 'REGISTRY' ENTERED AT 12:48:43 ON 02 APR 2003 STRUCTURE UPLOADED

L2 34 S L1 FUL

L3 15 S L2 AND CAPLUS/LC

L419 S L2 NOT L3

L5 STRUCTURE UPLOADED

L6 6797 S L5 FUL

L7 STRUCTURE UPLOADED

137 S L7 FUL L8

L9 114 S L8 AND CAPLUS/LC

L1023 S L8 NOT L9

FILE 'CAPLUS' ENTERED AT 12:55:20 ON 02 APR 2003

=> s 18

42 L8 L11

=> d 1-42 ibib abs hitstr

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ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
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ANSWER 1 OF 42 CAPLUS COPYRIGHT 2003 ACS
ESSION NUMBER: 2002:539473 CAPLUS
MENT NUMBER: 137:109293
EE: Preparation of piperazinylchromans as 5-HT1B and
5-HT1D agonists/antagonists useful as

antimigraine

drugs. Chapdelaine, Marc: Davenport, Timothy: INVENTOR (5): Haeberlein,

Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel Astrazeneca Ab, Swed. PCT Int. Appl., 139 pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE W0 2002055014 A2 20020719 W0 2002-5E70 20020115
W0 2002055014 A3 20021114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN. CO. CR. CU. CZ. DE. DK. DM. DZ. EC. EE. ES. FI, GB. GD. GE. GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR. LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ. UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, US 2001-262109P P 20010116 SE 2001-3646 A 20011101 MARPAT 137:109293

OTHER SOURCE(S):

PRIORITY APPLN. INFO.:

L11 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:539472 CAPLUS
DOCUMENT NUMBER: 137:93772
TITLE: Preparation of piperazinylchromenones as 5-HT1B

agonists/antagonists useful as drugs. Chapdelaine, Marc: Davenport, Timothy:

INVENTOR(S): Haeberlein,

Markus; Horchler, Carey; McGauley, John; Pierson, Edward; Sohn, Daniel Astrazeneca Ab, Swed. PCT Int. Appl., 150 pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	ND DATE			APPLICATION NO. DATE											
	WO 2002055013 WO 2002055013				A2 2002 A3 2002				WO 2002-SE69							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,
CN,		co,	CR,	cu,	cz,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,
GH,		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,
LR,		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	м2,	NO,	NZ,	OM,
PH,		PL,	PT,	RO,	RU,	SD,	SE,	SG,	sī,	sĸ,	SL,	ТJ,	TM,	TN,	TR,	TT,
TZ,		UA,	UG,	us,	UZ,	٧N,	YU,	ZA,	ZM,	z₩,	AM,	AZ,	BY,	KG,	ΚZ,	MD,
RU,	RW:	TJ,	TM GM,	KE,	Ls,	MW,	MZ,	SD,	SL,	sz,	TZ,	UG,	ZM,	ZW,	AT,	BE,
CH,		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,
TR,		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,
TG PRIC	RITY API	PLN.	INFO	. :					US 2							
OTHER SOURCE(S):						SE 2001-3647 A 20011101 MARPAT 137:93772										

L11 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Title compds. [1; R1 = H, thiomethoxy, NNA, NA2, NHCOA, halo, OH, OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclylamino; R6 = H, Ner Y = CONH, CONN, CSNN, CH2CO, CH2NN, piperazinylcarbonyl, 5-membered heterocyclylene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyll, were prepd. Thus,
8-(4-methyl-1-piperazinyl) chroman-2-carbonylic acid hydrochloride (prepn. given) in DMF was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N, N, N', N'-pentamethyleneuronium tetrafluoroborate, Et3N, and 4-(4-morpholinyl) aniline (prepn. given) followed by stirring overnight to

4-(4-morpholinyl) aniline (prepn. given) followed by stirring
overnight to
give 8-(4-methyl-1-piperazinyl)chroman-2-carboxylic acid
(4-morpholin-4-ylphenyl)amide. Several I showed 5-HTIB antagonist
activity in the range 0.006-5.5 mg/kg in a screen for reversal of
hypothermia in guines pigs.

IT 442348-33-6P
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES
(Uses)
(prepn. of piperazinylchromans as 5-HTIB and 5-HTID

(Uses) (Uses) (prepn. of piperazinylchromans as 5-HT1B and 5-HT1D agonists/antagonists useful as antimigraine drugs) RN 442548-33-6 CAPUS CN 4H-1-Benzopyran-2-carboxamide, N-[4-(1H-indiazol-1-yl)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo-(9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Title compds. [I: R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, GH, OA, cyano, aryl. (substituted) alkyl, cycloalkyl, etc.: A = (substituted) alkyl, cycloalkyl, alkenyl, alkenyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = COM, CONA, CSNE, GHZCO, CHZNA, piperazinylcarbonyl, 5-membered heterocyclyl, ee, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyll, were prepd. Thus, 8-(4-methyl-1-piperazin-1-yl)-4-oxo-4-chromene-2-carboxylic acid hydrochloride (prepn. given) in DMF/SECN was treated sequentially with 1-budoouther-attained.

was treated sequentially with 1-hydroxybenzotriazole,

0-(1H-benzotriazol-1yl)-N,N,N,N,--pentamethyleneuronium tetrafluoroborate,
4-dimethylaminopyridine, and 4-(4-morpholinyl)aniline (prepn. given)

give 8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo-4H-chromene-2-carboxamide. Several I showed 5-HT1B antagonist activity

the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in

guinea pigs. 442548-33-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preph. of piperazinylchromenones as 5-HT1B 5-HT1D agonists/antagonists
useful as drugs)
RN 442548-33-6 CAPLUS
CN 4H-1-Benzopyran-2-carboxamide,
N-[4-(1H-inidazol-1-yl.)phenyl]-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

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L11 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:539471 CAPLUS DOCUMENT NUMBER: 137:109205
                                   Preparation of 4-oxo-4H-chromene-2-carboxamides
TITLE:
                                   related compounds as antagonists or agonists of serotonin SHT1B and SHT1D receptors Chapdelaine, Marc; Davenport, Timothy;
                                  Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel Astrazeneca hb, Swed. PCT Int. Appl., 147 pp. CODEN: PIXXD2 Patent English 1
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
       PATENT NO.
                               KIND DATE
                                                             APPLICATION NO. DATE
       W0 2002055012 A2 20020718 W0 2002-SE68 20020115
W0 2002055012 A3 20021114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
CN,
                  CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE,
GH,
                  GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR.
                  LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
PH,
                  PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
TZ,
                  UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
CH.
                  CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
TR,
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,

US 2002-51776 20020116 US 2001-262107P P 20010116 SE 2001-3650 A 20011101 WO 2002-SE68 W 20020115

L11 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) IT 442548-33-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preps. of 4-oxo-4H-chromese-2-carboxamides and related related compds. as antagonists or agonists of serotonin SHT1B and SHT1D receptors)

RN 44258-33-6 CAPLUS
CN 4H-1-Benzopyran-Z-carboxamide,
N-(4-(H-inidazol-1-y1)phenyl)-8-(4-methyl1-piperazinyl)-4-oxoc (9CI) (CA INDEX NAME)

MARPAT 137:109205

US 2003013708 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

L11 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

Title compds. I and their pharmaceutically acceptable salts [R1 = H, alkyl, cycloalkyl, thiomethoxy, etc.: R2 = NR3R3; R3 independently = $\frac{1}{2}$ (un) substituted alkylamine e.g., alkyl, alkenyl, alkynyl amino-heterocycle, etc; R3-R3 = (un) substituted cycloalkylamine or amino-heterocycle e.g., alkyl, alkenyl, alkynyl, etc; R5 = H, O, S, etc.;

R6 = H, He; R7 = (un) substituted mono-. or bicylo- arom.,
(un) substituted

theterocycle: X = 0, N, NH, S; Y = CONH, NHCO, CSNH, etc.] were prepd the proviso that multiple bonds are sepd. from each other by at least one
single bond. For example, condensation of
4-oxo-4H-chromene-2-carboxylic
acid II e.g., prepd. from diethylacetylenedicarboxylate and
2-bromo-4-fluorophenol in 5 steps, and 4-morpholin-4-yl-phenylamine
provided preferred 4-oxo-4H-chromene-2-carboxamide III. The utility the compds. of the present invention were tested using a guinea pig hypothermia test, ED50 values for compds. I range from 0.006-5.5. Compds. I are disclosed to be antagonists or agonists of serotonin and SHTID receptors (no data provided). Also I are claimed for use in the treatment of gastrointestinal disorders, cardiovascular regulation, disorders, etc...

L11 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:314932 CAPLUS
DOCUMENT NUMBER: 136:325418
ITILE: Preparation of condensed flavans as bactericides
INVENTOR(S): Afshar, Mohammad Michel/ Morley, Stephen David;
Murchie, Alastair Iain Hamilton, Drysdale, Martin
James; Potter, Andrew John; Bower, Justin Fairfield PATENT ASSIGNEE(S): SOURCE: Ribotargets Limited, UK PCT Int. Appl., 66 pp. CODEN: PIXXD2 Patent English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG. US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

OTHER SOURCE(S):

L11 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Title compds. [e.g., I, R = (un)substituted Ph] were prepd. as bacterial protein translation inhibitors. Thus, resorcinol was cyclocondensed with

cyclohexanone to give I [R = C6H3(OH)2-2,4]. Data for biol.
activity of
title compds. were given.
IT 415709-03-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(prepn. of condensed flavans as bactericides)
RN 415709-03-4 CAPLUS
CN Benzamide,
2,4-dihydroxy-N-[3-(1H-imidazol-1-y1)propy1]-5-(1',3',4',9'a-

tetrahydro-6'-hydroxyspiro[cyclohexane-1,9'-[9H]xanthen]-4'a(2'H)-y1}-(9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 9 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS

PAGE 1-B

RN 441778-92-3 CAPLUS CN L-Ornithine, N-[6-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acetyl]-4,10-

dioxo-12-phenyl-11-oxs-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentanethyl-2H-1-benzopyran-6-yl)sulfonyl]aminol|minomethyl]-, methyl ester (SCI) (CA INDEX NAME)

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:136806 CAPLUS
DOCUMENT NUMBER: 137:93977
TITLE: Liquid-phase combinatorial synthesis of

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: diPNA-arginine

conjugates Leroux, Mary-Lorene; Di Giorgio, Christophe; AUTHOR(S): Patino,

Nadia: Condom, Roger Laboratoire de Chimie Bio-Organique, UMR 6001, Universite de Nice Sophia-Antipolis, Nice, CORPORATE SOURCE:

F-06108.

to optimize the antiviral properties of lead compds. This library has been prepd. using a combinatorial liq.-phase strategy, involving to optimize the antiviral properties of leas compos. Line lives been prepd. using a combinatorial liq.-phase strategy, involving easily available N-protected FNA dimeric backbones as building blocks.

IT 441778-91-29 441778-92-39 441778-93-49 441779-66-29 441779-06-29 441779-10-89 441779-10-95 441779-10-95 441779-10-95 441779-10-95 441779-10-96 441779-11-96-50 441779-16-49 441779-16-50 441779-16-69 441779-16-69 441779-30-72 441779-30-72 441779-30-72 441779-30-72 441779-30-72 441779-30-72 441779-30-72 4177

propenyloxy)carbonyl]glycyl-4-aminobutancyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-bencopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester [051] (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

RN 441778-93-4 CAPLUS CN L-Ornithine, N-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-N-[6-[[2-

amino-6-(phenylmethoxy)-9H-purin-9-yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-

3.6,9-triazadodec-1-yl]glycyl-4-aminobutancyl-N5-[[[{3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester [9C1] (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

aminobutanoy1-N5-[[[3,4-dihydro-2,2,5,7,8-pentamethy1-2H-1-benzopyran-6-y1)sulfony1]amino]iminomethy1]-, methy1 ester (9CI) (CA INDEX NAME) Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Absolute stereochemistry.

RN 441779-06-2 CAPLUS
CN L-Ornithine,
N-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-N-[6-[[6-

 $\verb|[bis[(1,1-dimethylethoxy)| carbonyl]| amino] - 9 H - purin - 9 - yl]| acetyl] - 4, 10 - dioxo-diox$

12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9Cl) (CA INDEX NAME)

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 441778-96-7 CAPLUS CN L-Ornithine, N-[6-[(6-[inj[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(2-

propenyloxy) carbonyl}glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl}amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

PAGE 1-B

RN 441779-01-7 CAPLUS CN L-Ornithine, N-[6-[[6-[bis[{1,1-dimethylethoxy}]carbonyl]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

(Continued)

RN 441779-07-3 CAPLUS
CN L-Ornithine,
N-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-N-[6-[[4-

[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]-

4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutancyl-M5-[[[3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]aminoljminomethyl]-, methyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

RN 441779-09-5 CAPLUS
CN L-Ornithine,
N-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acetyl]-N-[6-

[(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-

2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441779-08-4 CAPLUS
CN L-Ornithine, N-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-N-[6-

[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10-dioxo-12-

phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

RN 441779-10-8 CAPLUS CN L-Ornithine, N-[(2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-N-[6-{(5-

nitro-1H-indol-1-yl)acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-

1-yl]glycyl-4-aminobutancyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]aminoliminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441779-11-9 CAPLUS CN L-Ornithine, N-[6-[(2-amino-6-(phenylmethoxy)-9H-purin-9-yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[[6-[bis[(1,1-

 $\verb|dimethylethoxy|| \verb|carbonyl|| \verb|amino|| - 9 + purin - 9 - yl|| \verb|acetyl|| \verb|glycyl-4-aminobutancyl|| \\$

N5-{[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl}-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

PAGE 1-B

RN 441779-12-0 CAPLUS CN L-Ornithine, N-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-N-[6-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-

aminobutancyl-N5-[[[3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME) Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

RN 441779-13-1 CAPLUS
CN 1-ornithine,
N-[6-[[4-[bis[[1,1-dimethylethoxy]carbonyl]amino]-2-oxo-1(2H)-

 $pyrimidiny1] \ acety1] \ -4,10-dioxo-12-pheny1-11-oxa-3,6,9-triazadodec-1-y1] -N-dioxo-12-pheny1-11-oxa-3,6,9-triazadodec-1-y1] -N-dioxo-12-pheny1-0xa-1-0xa-1-$

 $\hbox{\tt [[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-yl]acetyl]glycyl-4-index}$

aminobutanoy1-N5-[[[3,4-dihydro-2,2,5,7,8-pentamethy1-2H-1-benzopyran-6-y1)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME) Absolute stereochemistry.

PAGE 2-A

RN 441779-14-2 CAPLUS
CN L-Ornithine,
N-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-N-[6-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]

4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoylN5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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PAGE 2-A

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

PAGE 2-A

RN 441779-15-3 CAPLUS
CN L-Ornithine,
N-[[6-[bi#[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-N-[6-[(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-4,10-

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 441779-16-4 CAPLUS
CN L-Ornithine,
N-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-N-[6-[(5-nitro-1H-indol-1-yl)acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triezadodec-1-yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-

2,2,5,7,8-pentamethy1-2H-1-benzopyran-6-y1)sulfony1]amino]iminomethy1]-, methy1 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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RN 441779-17-5 CAPLUS
CN L-ornithine,
N-[6-[[2-anino-6-(phenylmethoxy)-9H-purin-9-y]]acetyl]-4,10dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[[4-[bis[{1,1-

 $\label{lem:convergence} \\ \texttt{dimethylethoxy)} \ \texttt{carbonyl]} \ \texttt{amino]} \ -2 - \texttt{oxo-1(2H)} \ -\texttt{pyrimidinyl]} \ \texttt{acetyl]} \ \texttt{glycyl-4-}$

aminobutanoy1-N5-{{{ (3,4-dihydro-2,2,5,7,0-pentamethy1-2H-1-benzopyran-6-y1)sulfony1}amino}iminomethy1]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 441779-23-3 CAPLUS CN L-Ornithine, N-[6-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-4,10-

dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl}-N-[(3,4-dihydro-5-methyl-

PAGE 1-B

RN 441779-18-6 CAPLUS
CN L-Ornithine,
N-[[4-[bis[{1,1-dimethylethoxy}carbonyl]amino]-2-oxo-1(2H)-

pyrimidiny1]acety1]-N-[6-[[6-[bis[(1,1-dimethylethoxy)carbony1]amino]-9H-purin-9-y1]acety1]-4,10-dioxo-12-pheny1-11-oxa-3,6,9-triazadodec-1-

yl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) 2,4-dioxo-1(2H)-pyrimidinyl)acetyl]glycyl-4-aminobutancyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-bencypyran-6-yl)sulfonyl]amino]minomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 441779-24-4 CAPLUS
CN L-Ornithine,
N-[6-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(3,4-

dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]glycyl-4-aminobutanoyl-N5-[[[3,4-dihydro-2,2,5,7.8-pentamethyl-2H-1-benzopyran-6-yl)sulfonylaminoliminomethyl-, methyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 441779-29-9 CAPLUS
CN L-Ornithine,
N-[6-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-4,10-

dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]glycyl-4-aminobutancyl-N5-[[(3,4-dihydro-

2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

RN 441779-35-7 CAPLUS
CN L-Ornithine,
N-[6-[[2-amino-6-(phenylmethoxy)-9H-purin-9-y1]acety1]-4,10-

dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(5-nitro-1H-indol-1-

yl)acetyl]glycyl-4-aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 441779-30-2 CAPLUS
CN L-Ornithine,
N-[6-[[6-[bis[[1.1-dimethylethoxy]carbonyl]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(3,4-dihydro-2,4-dioxo-1(2H)-pyrinidinyl]acetyl]glycyl-4-amincbutanoyl-N5-[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzoyyran-6-yl)suifonyl]amino]minomathyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-B

PAGE 1-B

RN 441779-36-8 CAPLUS
CN L-Ornithine,
N-[6-[[6-[bis[[1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl}-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[(5-nitro

1H-indol-1-y1)acetyl]glycyl-4-aminobutancyl-N5-[[[3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-bencopyran-6-y1)sulfonyl]amino]minomethyl]-, methyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L11 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:743877 CAPLUS
COUNTENT NUMBER: 136:259510 strategies for the attachment of fluorescing reporter groups to peptide nucleic acids

in solution and on solid phase Seitz, Oliver; Kohler, Olaf MPI for Molecular Physiology, Department of AUTHOR(S): CORPORATE SOURCE: Chemical

Biology and Institut fur Organische Chemie, Universitat Dortmund, Dortmund, 44227, Germany Chemistry--A European Journal (2001), 7(18),

SOURCE: 3911-3925

CODEN: CEUJED; ISSN: 0947-6539 Wiley-VCH Verlag GmbH Journal English DOCUMENT TYPE: LANGUAGE: AB Th-

LANGUAGE: English
AB The site-selective conjugation of peptide nucleic acids (PNA) with
fluorescent reporter groups is essential for the construction of
hybridization probes that can report the presence of a particular DNA
sequence. This paper describes convergent methods for the soln. and
solid-phase synthesis of multiply labeled PNA oligomers. The
solid-phase
synthesis of protected PNA enabled the selective attachment of
fluorescent
labels at the C-terminal end (3' in DNA) which demonstrated that
further

labels at the C-terminal end (3' in DNA) which demonstrated that further manipulations on protected PNA fragments are feasible. For the conjugation to internal sites, a method is introduced that allows for the on-resin assembly of modified monomers thereby omitting the need to synthesize an entire monomer in soln. Furthermore, it is shown that the

the application of a highly orthogonal protecting group strategy in combination with chemoselective conjugation reactions provides access to a rapid and automatable solid-phase synthesis of dual labeled PNA probes.

Real-time measurements of nucleic acid hybridization were possible by taking advantage of the fluorescence resonance energy transfer (FRET) between suitably appended fluorophoric groups. Analogously to DNA-based

between suitably appended fluorophotic year.

DNA-based
mol. beacons, the dual labeled PNA probes were only weakly
fluorescing in
the single-stranded state. Hybridization to a complementary
oligonucleotide, however, induced a structural reorganization and
conferred a vivid fluorescence enhancement.

IT 230518-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

RACT
(Reactant or reagent)
(convergent strategies for attachment of fluorescing reporter
groups to

groups to peptide nucleic acids in soln. and on solid phase) RN 230618-04-9 CAPLUS CN 2,5,8,11,14,17,20,23,26-Nonaazaheptacosanoic acid, 8,14-bis[[1,6-dihydro-6-

PAGE 2-B

REFERENCE COUNT: THIS

THERE ARE 20 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

oxo-2-[[(phenylmethoxy)carbonyl]amino]-9H-purin-9-yl]acetyl]-27-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-

4.10,16,22-tetraoxo-20-[{2-oxo-4-[{(phenylmethoxy)carbonyl]amino}-1(2H)-pyrimidinyl]acetyl]-27-thioxo-, 1.1-dimethylethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

REFERENCE COUNT: FOR THIS

THERE ARE 73 CITED REFERENCES AVAILABLE RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) or lower alkenylene) and salts thereof are prepd. Theses amides include include

phenylacetamide, cinnamides, lH-indole-7-carboxamides,
3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides,
9H-carbazolecarboxamides, 3-phenyl-2-propenamides,
9H-carboxamides, 2-3-dihydrobent[b] oxepine-4-carboxamides,
HH-benzo[b] thiepin-4-carboxamides, and
3-(lH-indol-3-yl)-2-propenamides,
They are antagonists of 5-hydroxytryptamine (5-HT), in particular
5-HT2c,
and are useful for the treatment of 5-HT-mediated diseases such as
(1) central nervous system disorders in including anxiety, depression, obsessive-compulsive neurosis, migraine headache, anorexia, disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom
caused by cocaine, ethanol, nicotine, and benzodiazepine, (3)
schizophrenia, (4) spinal cord injury, and /or (5) head injury such hydrocephalus. Thus, SOC12 was added to a soln. of (E)-4-phenyl-3-butenoic acid in benzene, heated under reflux for 1 h, and cooled, followed by adding 3-(imidazol-1-yl)aniline and Et3N, and the ting resulting

mixt. was stirred at room temp. For 1 h to give

mixt. 35-34-[3-(imidazol-1yl)phenyl]-4-phenyl-3-butenamide (I). I in vitro inhibited by 824 binding of [3H] mesulergine to 5-HT2c receptor which was prepd. from frontal lobe cortex.

17 361552-23-09
RAL: BAC (Biological activity or effector, except adverse); BSU (Biological) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic BIOL (Biological study); PREF (Preparation); USES (Uses)
(prepn. of N-hetercoycly) amide compds. as 5-HT antagonists for
treatment of 5-HT-mediated diseases such as central nervous syst
disorders, drug withdrawal symptom, schizophrenia, spinal code injury, injury,
and head injury)
RN 361552-23-0 CAPIUS
CN ZH-1-Benzopyran-3-carboxamide,
6-chloro-N-[3-4,5-dimethyl-1H-imidazol-1yl)phenyl]- (9CI) (CA INDEX NAME)

TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH. CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001041128 A5 20010924 AU 2001-41128 20010313
A1 20021211 EP 2001-912338 20010313
A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO::

JP 2000-70127 A 20000105
JP 2000-70127 A 20001015
JP 2000-70127 A 20001015
OTHER SOURCE(S):

CASREACT 135:257269 MARPAT 135:257269
AB Anides compds. represented by the general formula R1-Ax-NECOY-R2
(wherein R1 is an optionally substituted heterocyclic group or
optionally
substituted phenyl, R2 is optionally substituted fused Ph, optionally
substituted Ph, or optionally substituted thienyl, A is a group
represented by the formula -(CH2)t-(0)m- or -(CR3R4)pNR5(CO)n(wherein R3 PT. (wherein R3 and R4 each is hydrogen or R3 and R4 in combination form iminor R5 is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); ; X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkvlene, L11 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:693264 CAPLUS

Patent

KIND DATE

Preparation of N-heterocyclyl smide compounds as

Imanishi, Masashi; Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumier Miyake, Hiroshi Fujisawa Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 239 pp. CODEN: FIXED

APPLICATION NO. DATE

antagonists Yamada, Akira; Tomishima, Masaki; Hayashida,

2001068585 A1 20010920 WO 2001-JP1993 20010313 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,

KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,

MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,

DOCUMENT NUMBER:

PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE:

PATENT NO.

WO 2001068585

INVENTOR(S): Hisashi;

TITLE: 5-HT

DE.

JP.

MW,

TR,

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L11 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:360094 CAPLUS DOCUMENT NUMBER: 134:366874
                                                                      134:366874
Preparation of dye-labeled imidazoquinolines and analogs as immunomodulators
Wei, Ai-Ping, Tomai, Mark A., Rice, Michael J.
3M Innovative Properties Company, USA
PCT Int. Appl., 31 pp.
CODEN: PIXXD2
Patent
DOCUMENT NUMBER:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
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DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE APPLICATION NO. DATE WO 2001034709 Al 20010517 WO 2000-US30366 20001103 W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH. CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, ΜX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD. RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 6376669 B1 20020423 US 2000-705072 20001102 EP 1228147 A1 20020807 EP 2000-990282 20001103 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2002120141 A1 20020829 US 2002-78645 20020219
RNO 2002001974 A 20020628 NO 2002-1974 2002042
PRIORITY APPLN. INFO:

US 2000-705072 A 20001102
OTHER SOURCE(S):

MARPAT 134:366874

LE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2002-78645 20020219
US 2002-78645 20020219
2002-1974 20020219
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2002-1974 20020219
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2002-1974 20020219
20 PT,

OTHER SOURCE(S):

L11 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) RN 339545-44-7 CAPLUS COPYRIGHT 2003 ACS (Continued) N Thousea, N-{2-(4-amino-2-butyl-1H-imidazo{4,5-c}quinolin-1-yl)ethyl}-N'-

(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H] xanthen]-5-y1)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS THERE ARE 3 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

Title compds. (I: R1 = ZR: R = dve residue: R2 = H. (un) substituted AB alkyl (hetero)aryl(alkyl), etc.; R3,R4 = H, halo, alkyl, alkoxy, etc.; R3R4 atoms to complete a ring; 2 = spacer group], useful, inter alia, for

the binding and/or receptor sites of the mols., were prepd. Thus, 3-nitro-4-quinolinol was aminated by H2N(CH2)4CHCO2CHe3 and the

product cyclocondensed with MeOCH2CH2COC1 to give, in 3 addnl. steps, 1 [R1 = (CH2)4NHR, R2 = CH2CH2OMe, R3R4 = CH:CHCH:CH](II: R = H) which

amidated by fluorescein 5-isothiocyanate to give II (R = CSNHZ1R5, R5

6-hydroxy-3-oxo-3H-xanthen-9-yl, Z1 = 3-carboxy-1,4-phenylene). Data

IT

biol. activity of 1 prepd. I were given. 339545-42-59 339545-44-7P RL: BAC (Biological activity or effector, except adverse), BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preps. of dye-labeled imidazoquinolines and analogs as
immonoculators)
RN 339545-42-5 CAPLUS
CN Thiourea,
N-{4-{4-amino-2-(2-methoxyethyl)-1H-imidazo{4,5-c}quinolin-1yl)butyl-N'-(3',6'-dihydroxy-3-oxospiro(isobenzofuran-1(3H),9'[9H]xenthen]-5-yl) - (9CI) (CA INDEX NAME)

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
DOCUMENT NUMBER:
134:296091
Synthesis and Properties of Feptide Nucleic Acids
Contraining a Fooralen Unit
Okamoto, Akimitsus Tanabe, Kazuhito, Saito, Isao
Department of Synthetic Chemistry and Biological
Chemistry, Faculty of Engineering, Kyoto

(JST),

SOURCE:

PUBLISHER: CUMENT TYPE:

LANGUAGE:

OTHER SOURCE(S):

CREST, Japan Science and Technology Corporation

T),

Kyoto, 606-8501, Japan
Organic Letters (2001), 3(6), 925-927
CODEN: ORLEF7; ISSN: 1523-7660
LISHER:

UNENT TYPE:
JOURNAL
GRACE(S):

CASEACT 134:296091

EN SOUNCE(S):

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Journal Grace State S

333959-00-99
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and DNA-hybridization characteristics of psoralen-contg. peptide nucleic acid.
333958-94-8 CAPUS
Peptide nucleic acid. (H-[1'-de(6-amino-9H-purin-9-y1)-1'-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-y1)oxy]]A-G-T-T-C-C-G-C)-NH2 (9CI) (CA

INDEX

NAME)

PAGE 1-A

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

EN 333968-96-0 CAPLUS
CN Peptide nucleic acid,
(H-G-T-T-[1'-de(6-amino-9H-purin-9-y1)-1'-[(7-oxo-7H-furo[3,2-g][1]benzopyran-9-y1)oxy]]A-C-G-C)-NH2 (9CI) (CA INDEX NAME)

PAGE 3-A

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Peptide nucleic acid,
(H-G-T-T-C-C-[1'-de[6-amino-9H-purin-9-y1)-1'-[7cxc-7H-furo[3,2-9][1]benzopyran-9-y1)oxy]]A-C)-NH2 (9CI) (CA INDEX NAME)

PAGE 1-A

RN 333968-98-2 CAPLUS

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 333969-00-9 CAPLUS
CN Peptide nucleic acid,
(H-G-T-T-C-C-G-T-[1'-de(6-amino-9H-purin-9-y1)-1'[(7-oxo-7H-furo[3,2-9][]benzopyran-9-y1)oxy]]A)-NH2 (9CI) (CA INDEX NAME)

PAGE 3-A

PAGE 4-A

20000126 20000126 20010125

20020125 A 19990726 P 19980727 W 20000126

L11 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 6-A

REFERENCE COUNT: FOR THIS

FORMAT

THERE ARE 14 CITED REFERENCES AVAILABLE RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:78241 CAPLUS
DOCUMENT NUMBER: 134:131434
TITLE: Freparation of substituted piperidines as nocleoptin receptor ORL-1 agonists for use in treating cough Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; Mcleod, Robbie L.; Hey, John INVENTOR (S): A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PATENT ASSIGNEE (S):
SOURCE: Schering Corporation, USA PCT Int. Appl., 95 pp. CODEN: PIXXD2 Patent English 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. XIND DATE APPLICATION NO. DATE

WO 2001007050 A1 20010201 W0 2000-US1853 20000126
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, No, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE. DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6262066 B1 20010717 US 1999-359771 19990726
EP 1200087 A1 20020502 EP 2000-904560 20000126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL

BR 200012801 A 20020507 BR 2000-12801
JP 2003505420 T2 20030212 JP 2001-511934
US 2001011092 Al 20010602 US 2001-61934
US 6455527 B2 20020924
NO 2002000392 A 20020325 NO 2002-392
PRIORITY APPLN. INFO:: US 1999-359771 A

2 20020924 20020325 NO 2002-392 US 1999-359771 US 1998-94240P WO 2000-US1853 MARPAT 134:131434

OTHER SOURCE(S):

LIL ANSWER 11 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:881146 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 134:42136 Preparation of aminoalkyl substituted benarodiowan, benarodivan or benaropyran derivatives for treating conditions which are related to impaired fundic relaxation. Van Emelen, Kristof; De Bruyn, Marcel Frans Leopold PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg. CODEN: PIXXD2

DOCUMENT TYPE: PCT Int. Appl., 31 pp. CODEN: PIXXD2

DOCUMENT TYPE: English 1
FAMILY ACC. NUM. COUNT: English 1
FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE APPLICATION NO. DATE

	PA	TENT :	NO.		KIND DATE						DATE							
	WO	WO 2000075136			A1 20001214				WO 2000-EP4746						20000523			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA	, вв,	BG,	BR,	BY,	CA,	CH,	CN,	
CR, HU,			CU,	cz,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,	
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٠,			LV,	MA,	MD.	MG,	MK.	MN.	MW.	мх	, No,	NZ.	PL.	PT.	RO.	RU.	SD.	
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								BR 2000-11237 EP 2000-940267										
	EP																	
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			IE,	SI,	LT,	LV,	FI,	RO										
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		2001								1	NO 20	01-5	903		2001			
RIO	ORITY APPLN. INFO.:			. : ''						1999-								
											2000-				20000			
THE	R SC	URCE	(3):			MAR	PAT :	134:4						-				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AB The title compds. [I; Alk1 = (un)substituted alkanediyl,
alkylcarbonyl,

L11 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: THIS THERE ARE 4 CITED REFERENCES AVAILABLE FOR
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMA

L11 ANSWER 11 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) carbonylalky1, etc.; Alk2 = alkylcarbonylalky1, (un) substituted alkanedy1, etc.; 2122 = OCHMACH2, OCHMACH2, (un) substituted alkanedy1, etc.; 2122 = OCHMACH2, chronylalky1, (un) substituted alkanedy1, etc.; 2122 = OCHMACH2, etc.; R1-R3 = H, alky1, OH, etc.; or when R1 and R2 are on adjacent carbon atoms, R1 and R2 technology and taken together may form (CH2)3, (CH2)4, OCH2CH2, etc.; R4 = H, alky1, phenylmethy1, etc.; R6 = H, phenylmethy1; R5 = II-IV (wherein X = 0, N, NR9, CHNO2, Y = 0, S; R7 = H, alky1, cycloalky1, etc.; R8 = alky1, cycloalky1, Ph, phenylmethy1; R9 = CN, alky1, cycloalky1, etc.; R10 = H, alky1; Q = (CH2)2, (CH2)3, CH2CO, etc.), etc.] and their pharmaceutically acceptable acid addn. salts, useful as a medicine, in particular for treating conditions which are related to impaired fundic relaxation, were prepd. E.g., a multi-step synthesis of the pyrimidinone V.HCl which showed the mean max. change of 5 mL in vol. on relaxation of the fundus, during the 1 h observation period after i.d. administration at 0.63 mg/kg, was given.

IT 312933-47-48

RN: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of aminoalky1 substituted benzodioxan, benzofuran or benzopyran or laxation)

Enlaxation;

RN 312933-47-4 CAPLUS

II 312933-48-59 312933-50-99
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic Use);
BIOL (Biological study); PREF (Preparation); USES (Uses) (prepn. of aminoalkyl substituted benzodioxan, benzofuran or benzopyran

L11 ANSWER 11 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) derivs. for treating conditions which are related to impaired fundic

fundic
relaxation)
RN 312933-48-5 CAPLUS
CN 2,4-Enidazelidianedione, 1-[3-[[(3,4-dihydro-2H-1-benzopyran-2-y1) methyl]amino]-2-hydroxypropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 312933-50-9 CAPLUS
CN 2,4-Imidazolidinedione, 1-[3-[[(3,4-dihydro-2H-1-benzopyran-3-yl)methyl]amino]-2-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX

NAME)

• HC1

2

REFERENCE COUNT: THIS

THERE ARE 2 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

299428-51-6 CAPLUS
Peptide nucleic acid, ([4-[3,6-bis(dimethylamino)xanthylium-9-yl]-3-carboxybenzoyl]-T-A-G-G-G)-Cys-OH (GCI) (CA INDEX NAME)

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:528837 CAPLUS
DOCUMENT NUMBER: 133:228206 For the synthesis of fluorescently labelled

labelled

AUTHOR(5):

Liu, Xiaohai; Balasubramanian, Shankar
CORPORATE SOURCE:

Department of Chemistry, University of Cambridge,
Lensfield, Cambridge, CB2 IEW, UK

SOURCE:

Tetrahedron Letters (2000), 41(32), 6153-6156
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

DOCUMENT TYPE:

Journal
LANGUAGE:

CASREACT 133:282060

OTHER SOURCE(s):

CASREACT 133:282060

A a simple and effective strategy for prepg, fluorophore-labeled PNA is described. A C-terminal S-t-butylmercaptocysteine-derivatized PNA was prepd, on solid-phase using Pmc chem. Selective deprotection of the S-t-butylmercapto group on-bead, allowed the free thiol to be reacted with

a fluorophore derivatized via an iodoacetamido or maleimido linker. Subsequent cleavage and sidechain deprotection yielded C-terminal

labeled PNA was also prepd. by ...

PNN in good yield and purity. Pula Interest

both C-terminal (-SH) and N-terminal (-NH2) labeling chemistries.

17 299428-50-50-Spp. resin-bound 299428-51-60pp, resin-bound 299428-55-00pp, resin-bound RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), PACT

RACT

(Reactant or reagent)
(prepn. of N- or C-terminal fluorescently labeled PNA under
sold-phase
synthetic conditions)
RN 299428-50-5 CAPLUS
CN Peptide nucleic acid, ([4-[3,6-bis(dimethylamino)xanthylium-9-y1]-3carboxybenzoyl]-T-A-G-G-G)-3-[(1,1-dimethylamino)xanthylium-9-y1]-3(CA

INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry. (Continued)

PAGE 1-B

RN 299428-54-9 CAPLUS
CN Peptide nucleic acid, ([3-[3,6-bis(dimethylamino)xanthylium-9-yl]-4-caboxybenzoyl]-T-A-G-G-G)-3-[(1,1-dimethylethyl)dithio]-Ala-OH
(9C1) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-C

∼nme2

299428-55-0 CAPLUS
Peptide nucleic acid, ([3-[3,6-bis(dimethylamino)xanthylium-9-yl]-4-carboxybenzoyl]-T-A-G-G-G)-Cys-OH (SCI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-C

~NMe2

II 299428-47-0P 299428-48-1P 299428-52-7P
299428-53-6P
RL: SPW (Synthetic preparation); PREF (Preparation)
(prepn. of N- or C-terminal fluorescently labeled PNA under
solid-phase
PNA 299428-47-0 CAPIUS
PPETIDE AUGUST ACTION (H-T-A-G-G-)-S-[(3R)-1-[4-[3,6-bis(dimethylamino) xanthylium-9-yl]-3-carboxyphenyl]-2,5-dioxo-3-pyrrolidinyl]-Cys-OH, inner salt (9CI) (CA INDEX NAME)

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

299428-48-1 CAPLUS
Peptide nucleic acid, (H-T-A-G-G-G)-S-[(3S)-1-[4-[3,6-bis(ddimethylmino)xanthylium-9-y-]]-3-carboxyphenyl]-2,5-dicxo-3-pyrrolidinyl]-Cys-OH (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

299428-52-7 CAPLUS
Peptide nucleic acid, ([4-[3,6-bis(dimethylamino)xanthylium-9-y1]-3-

carboxybenzoyl]-T-A-G-G-G)-S-[2-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran 1(3H),9'-[9H]xanthen]-5-yl)amino]-2-oxoethyl]-Cys-OH (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 1-A

PAGE 2-A

299428-53-8 CAPLUS
Peptide nucleic acid, ([3-[3,6-bis(dimethylamino)xanthylium-9-yl]-4carboxybenzoy1]-T-A-G-G-G)-S-{2-{(3',6'-dihydroxy-3-oxospiro{ischenzofuran 1(3H),9'-[9H]xanthen]-5-yl)amino]-2-oxoethyl]-Cys-OH (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C

PAGE 2-B

REFERENCE COUNT: FOR THIS

THERE ARE 12 CITED REFERENCES AVAILABLE RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 13 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

12

REFERENCE COUNT: FOR THIS

15 THERE ARE 15 CITED REFERENCES AVAILABLE

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 13 OF 42 CAPIUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:415473 CAPIUS
DOCUMENT NUMBER: 133:36025
TITLE: Silver halide elements containing yellow couplers with improved dye stability Lussier, Barbara B., Proseus, Michael J. Eastman Kodak Co., USA U.S., 17 pp. COURN: USKKAM Patent English 1 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE
US 1998-216777 19981218
EP 1999-204158 19991206 PATENT NO. KIND DATE US 6077658 A 20000620 US 1998-216777 19981218 EP 1018668 A2 20000712 EP 1999-204158 19991206 EP 1018669 B1 20020127 R: AT, RE, CH, DE, DK, ES, FR, GE, GR, IT, LI, LU, NL, SE, MC, PT. IE, SI, LT, LV, FI, RO
CN 1258020 A 20000628 CN 1999-126420 19991217
PRIORITY APPLN. INFO: 07199-180930 JP 1999-280930 19991220
OTHER SOURCE(S): Use 1998-216777 A 19981218
OTHER SOURCE(S): MARPAT 133:36025
B This invention relates to a photog, element comprising a silver halide emulsion layer having assocd. therewith a yellow dye-forming coupler which is an acylacetanilide compd. comprising an alkoxy or aryloxy substituent tituent ortho to the nitrogen atom on the acetanilide ring said ring further comprising a substituent contg. a chroman ether group. 273937-26-1 RL: DEV (Device component use); USES (Uses) (photog. Ag halide elements contg. yellow couplers with improved

(1,1,3,3-tetramethylbutyl)-2H-1-benzopyran-6-yl]oxy]-1-oxooctyl]amino]-2methoxyphenyl]-.alpha.-(2,2-dimethyl-1-oxopropyl)-2,5-dioxo-3(phenylmethyl)- (9C1) (CA INDEX NAME)

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132:207763
Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as potassium channel inhibitors Lloyd, John: Finlay, Heather J., Vaccaro, Wayne; Atval, Karnail; Gross, Michael F.; Spear, Kerry L. Bristol-Myers Squibb Company, USA PCT Int. Appl., 210 pp.
CODEN: PIXXD2
Patent
INVENTOR (S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                             Patent
English
1
        PATENT NO.
                                        KIND DATE
                                                                              APPLICATION NO. DATE
         W: 2000012077 Al 20000309 W0 1999-US1859S 19990816
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
                       DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP,
                       KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN.
                       MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM,
                       TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
RU,
                TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE,
DK.
                       ES, F1, FR, GB, GR, IE, 1T, LU, MC, NL, PT, SE, BF, BJ, CF,
CG.
        CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2341678 AA 200000309 CA 1999-2341678 19990816
AU 9956753 A1 20000321 AU 1999-56753 19990816
AU 754204 B2 20021107
EP 1109544 A1 20010627 EP 1999-943714 19990816
R: AT, EE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT.
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PT, IE, SI, LT, LV, FI, RO JP 2002523451 T2 20020730 US 6150356 A 20001121 US 6511977 B1 20030128 PRIORITY APPLN. INFO.: T2 20020730 JP 2000-567195 19990816 \[\) 20001121 US 1999-375955 19990817 \(\) 20030128 US 2000-670285 20000925 \(\) US 1998-936799 P 19980916 \(\) US 1999-US18599 V 19990816 \(\) US 1999-375955 A3 19990817 \(\) MARRAT 132:207763

OTHER SOURCE(S):

L11 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:161121 CAPLUS
DOCUMENT NUMBER: 132:207763
TITLE: Preparation of benzopyra

L11 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

The title compds. (I) [wherein A, B, and D = independently CH or N;

(aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl;

(aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R

ll
taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H,
(aryl)alkyl, acyl, carboxymethyl, carbamcylmethyl, etc.; R3 and R4 =
independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken

together with the C to which they are attached form a 5- to 8-membered ring; R5 = $^{\circ}$

H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n,

NR5, S, S(0), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(0)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or 0; Q = substituted NRCH:NCN, acyl, (un)substituted sulfamoyl, or substituted

antiarrhythmics.

For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) the nitrile to the carbonyling.

olysis of the nitrile to the carboxylic acid using aq. Na202 (33%), and (3) amidation with 1,2,3,4-tetrabydro-1-anphthylamine (51%). I block the delayed rectifier voltage-gated K+ channel (HKur) and are therefore

userui in the prevention and treatment of cardiac arrhythmia (no data).

IT 260398-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:98525 CAPLUS
DOCUMENT NUMBER: 132:137396
TITLE: Phenylazole compounds, process for producing the same

and drugs for hyperlipemia Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, INVENTOR(S):

Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito

Horikoshi, Hiromi Nippon Soda Co., Ltd., PCT Int. Appl., 92 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 2000006550 A1 20000210 WO 1999-JP4070 19990729 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, WD 2000006550 CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, ıs, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM. TR, TT, UA, UG, US, U2, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD. RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2339123 AA 20000210 CA 1999-2339123 19990729
AU 9949297 AI 20000221 AU 1999-49297 19990729
AU 753350 B2 20021017
EP 1101759 AI 20010523 EP 1999-933152 19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO
JP 2000290280 A2 20001017
JP 2000281656 A2 20001010
JP 2000281658 A2 20001010
US 6342516 B1 20020129 JF 1999-216581 19990730
JF 1999-221799 19990804
JF 1999-221790 19990804
JF 1999-221816 A 19980730
JF 1999-22187 A 19980730
JF 1999-19646 A 19990126
JF 1999-19670 A 19990126
WO 1999-JF4070 W 19990729 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 132:137396

L11 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

, BIOL (Biological study); PREP (Preparation); USES (Uses) (target compd.; prepn. of arylsulfamido benzopyram, tetrahydroquinoline, pyrano(2,3-b)pyridine, and indan derivs. by

soln. phase or solid phase synthesis as potassium channel inhibitors for

treatment of arrhythmia)
20038-39-8 CAPIUS
2H-1-Benzopyran-6-carboxamide, 4-[[(4-ethylphenyl)sulfonyl]amino]-3,4-dihydro-3-hydroxy-N-[3-(lH-imidazol-1-yl)propyl]-2,2-dimethyl-,
(3),(5)-rel (9C1) (CA INDEX NAME) yl)propyl]-2,2-dimethyl-,

Relative stereochemistry.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Phenylpyrazole and phenylimidazole compds. represented by general formula
(Is wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2,

OCH2, C1-5 alkyl-(un) substituted NHCO or NH; Z = (un) substituted and

or unsatd. heterocycle contg. 1 to 4 N, O or S atoms, (un)substituted benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepd. Claimed are drugs for hyperlipemia which contain

compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect

to of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g,

2.5 mL Et3N were added to 30 mL DMF and stirred at room temp. for 20

h to

h to
give title compd. (II), II and
N-[4-(imidazol-1-yl)phenyl]-1-methyl-3pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level

cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and

resp. A tablet formulation contg. I was prepd. IT 256660-54-5P 256660-58-9P 256660-68-1P

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
226660-70-59 256660-72-29 256660-74-9F
226660-67-19 256660-77-29 256660-82-2P
236660-88-59 256660-91-09 236661-92-19
236660-93-29 256661-21-99 236661-52-6P
236661-70-69 256661-92-49 236661-52-6P
236661-70-69 256661-92-49 236662-02-9F
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)
RN 256660-34-5 CAPLUS
CN 2H1-19enzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-N-(4-(H1-imidazol-1-y1))hempyl-2,5,7-d-tetramethyl- (9C1) (CA INDEX NAME)

RN 25660-58-9 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-N-[2-[[4-{[H-imidazol1-y1]phenyl]amino]-2-oxoethyl]-N,2,5,7,8-pentamethyl- (9CI) (CA
INDEX

RN 256660-68-1 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-N-{4-(IH-imidazol-1-yl)phenyl)-2,5,7,8-tetramethyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 2H-1-Benzopyran-2-propanamide,
3,4-dihydro-6-hydroxy-N-[4-(1H-imidazol-1yl)phenyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 256660-76-1 CAPLUS
CN 2E-1-Benzopyran-2-propanamide,
3,4-dihydro-6-hydroxy-N-[4-(IM-imidazol-1-yl)phenyl]-.alpha.,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

RN 256660-77-2 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-N-[6-[[4-(H-imidazol1-yl)phenyl]amino]-6-oxohexyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 256660-85-2 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
6-(benzoyloxy)-3,4-dihydro-N-[6-[[4-(1Himidazol-1-yl) phenyl]amino]-6-oxohexyl]-2,5,7,8-tetramethyl- (9CI)
(CA
INDEX NAME)

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 256660-70-5 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-M-(4-(1H-imidazol-1yl)phenyl}-2,5,7,8-tetramethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 256660-72-7 CAPLUS
CN 2H-1-Benzopyran-2-acetamide,
3,4-dihydro-6-hydroxy-N-[4-(1H-inidazol-1-y1)phenyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

Me Me CH2-C-NH

RN 256660-74-9 CAPLUS

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\Pr_{\mathbf{Me}} = \bigcap_{\mathbf{Me}} \bigcap_{\mathbf{C} = \mathbf{NH} - \{\mathbf{CH}_2\}} \bigcap_{\mathbf{S} = \mathbf{C} = \mathbf{NH}} \bigcap_{\mathbf{Me}} \bigcap_{\mathbf{Me}}$$

RN 256660-88-S CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-N-[5-[[4-(1H-imidazo]1-y1)phenyl]amino]-5-oxopentyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 256660-91-0 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
6-(acetyloxy)-3,4-d1hydro-N-[4-(lH-imidazol1-y1)phenyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 256660-92-1 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-6-hydroxy-n-[3-(IH-imidazol-1-yl)phenyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) RN 256660-93-2 CAPLUS CN 2H-1-Benzopyran-2-Carboxamide, 3,4-dihydro-5-hydroxy-N-[2-(lH-imidazol-1-y1)phenyl]-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 256661-21-9 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide,
3,4-dihydro-N-[4-(1H-imidazol-1-y1)phenyl](9CI) (CA INDEX NAME)

256661-52-6 CAPLUS
4H-1-Benzopyran*2-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-oxo-(CA INDEX NAME)

256661-70-8 CAPLUS
2H-1-Benzopyran-3-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-2-oxo-(9CI) (CA INDEX NAME)

L11 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:98519 CAPLUS
DOCUMENT NUMBER: 132:137290
TITLE: 9ffinity Preparation of piperidine derivatives as high

INVENTOR(S):

ligands for nociceptin receptor ORL-1 Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; McLeod, Robbie L.; Hey, John Α.;

Chapman, Richard W.; Bercovici, Ana; Cuss,

Francis M. PATENT ASSIGNEE(S): SOURCE: Schering Corporation, USA PCT Int. Appl., 88 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000006545 A1 20000210 WO 1999-US14165 19990726
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, C2, DE. DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, S2, UG, 2W, AT, BE, CH, CY, DE,

DK. ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,

CI, CM, GA, GN, GW, MI, MR, NE, SN, TD, TG
CA 238206 AA 20000210 CA 1999-239206 19990726
AU 9952056 AI 20000221 AU 1999-52056 19990726
BR 9912495 A 20010502 BR 1999-12495 19990726
EP 1100791 AI 20010523 EP 1999-937174 19990726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

PT.

IE, SI, FI, RO
JP 2002521472 T2 20020716 JP 2000-562351 19990726
EP 1258244 A1 20021120 EP 2002-18161 19990726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

20010326 NO 2001-467 20010126 US 1998-122878 A 19980727 EP 1999-337174 A3 19990726 WO 1999-US14165 V 19990726 PT, IE, SI, FI, RO, CY NO 2001000467 A 20010326 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

L11 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2003 ACS

256661-92-4 CAPLUS Acetamide, N-[4-[HH-imidazol-1-yl)phenyl]-2-[(4-oxo-4H-1-benzopyran-2-yl)oxyl = [9CI] (CA INDEX NAME)

256662-02-9 CAPLUS . Acetamide, N-[4-(H-imidazol-1-yl)phenyl]-2-[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)oxy]- (9CI) (CA INDEX NAME)

L11 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

Compds. of formula I (wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl

heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl,

aryl; or X1 = (un) substituted benzofused heterocyclyl and X2 = $H_{\rm F}$ or X1 and

X2 together form an optionally benzofused spiro heterocyclyl group;

R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and

or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 3 carbon atoms: 21 = (un) substituted alkyl, aryl, heteroaryl,

or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H

Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to

which
they are attached, form bicyclic satd, or unsatd, rings] or
pharmaceutically acceptable salt or solvate thereof useful as
nociceptin
receptor inhibitors for the treatment of pain, anxiety, cough, asthma,
depression, and alc. abuse are disclosed. Compd. II showed the Ki
value

value

of 13 nM in an in vitwo test for ORL-1 receptor binding assay.
Formulations are given.
IT 256941-37-89 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

L11 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) study, unclassified), SPN (Synthetic preparation), THU (Therapeutic use)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine derivs. as high affinity ligands for
nociceptin
PN 25694-57-8 CAPLUS
CN 1,3.8-Triazapiro[4.5]decan-4-one,
3-[2-(butylamino)ethyl]-8-[3.4-dihydro2H-1-benzopyran-4-yl)-1-phenyl- (9CI) (CA INDEX NAME) use);

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Title compds. [I; R = Z1AR5; R1 = H or 1-3 of halo, alkyl, alkoxy, etc.; R4 = H or alkyl; R5 = N-attached oxodiazacycloalkyl, etc.; R6 = H,

-bond; Z1 = CO, alkylene, etc.; Z2 = alkylene; Z3 =

bond: Z1 = CO, alkylene, etc.; Z2 = alkylene; z3 = piperidine:1,n-diyl]

were prepd. Thus, (R)-3,4-dihydro-2H-1-benzopyran-2-ylmethyl methenerulfonate (prepn. given) was aminated by 1-{3-aninopropyl) tetrahydro-2(1H)-pyrimidinone to give I [R = R1 = H, R4 CHZNH(CHZ)3R5, R5 = 2-oxotetrahydro-1-pyrimidinyl]. Data for biol. activity of I were given.

IT 277297-12-9 227297-13-4P 227298-09-1P 227298-10-6P 227466-88-6P 227466-88-6P (Ric BAC (Biological activity or effector, except adverse); BSU (Riological)

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

| BIOL (Biological study); PREP (Preparation); USES (Uses) | (prepn. of aminoalkylbenzopyrans and analogs as gastric fundus

Greph. of aminoalkylbenzopyrane and analoge as gestric fundus relaxants rela

CM 1

CRN 227297-11-2 CMF C16 H23 N3 O2

Absolute stereochemistry.

СМ 2

CRN 144-62-7 CMF C2 H2 O4

L11 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:389180 CAPLUS
DOCUMENT NUMBER: 131:44735
TITLE: Preparation of aminoalkylbenzopyrans and analogs
as

INVENTOR (S):

gastric fundus relaxants Wigerinck, Piet Tom Bert Paul; Verschueren, Wim Gaston; Schroven, Marc Francis Josephine; De Bruyn,

Marc Frans Leopold Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 49 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE 3687 Al 19990617 WO 1998-EP7771 19981127 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE. DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG. KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MΧ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6133277 A 20001017 US 1998-192686 19981116
CA 2311669 AA 19990617 CA 1998-2311669 19981127
AU 9924127 A1 19990628 AU 1999-24127 19981127
AU 748669 B2 20020606
EP 1036073 A1 20000920 EP 1998-966603 19981127 1036073 A1 20000920 EP 1998-966603 19981127 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO
BR 9814256 A 20001003
EE 200000328 A 200110815
JP 200152407 T2 200112211
ZA 9811081 A 20000622
NO 2000002074 A 20000620
US 6495547 B1 20021217 , LV, FI, RO
A 20001003
BR 1998-14256 19981127
T2 20011211 JP 2000-524281 19981127
A 20000602 A 1999-11081 19981203
A 20000602 NO 2000-2074 20000419
31 20021217 U 2000-614180 20000618
ER 1997-203308 A 19971205
US 1998-192696 A3 19981127
MARPAT 131:44735 PRIORITY APPLN. INFO .:

OTHER SOURCE(S):

L11 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2003 ACS

227297-13-4 CAPLUS
2-Imidazolidinone, 1-[3-[[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]amino]propyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

227298-09-1 CAPLUS Cyanamide, [1-[3-[[(3,4-dihydro-2H-1-benzopyran-2-

y1) methy1) (phenylmethy1) amino] propy1]-4,5-dihydro-5-oxo-1H-imidazol-2-y1](9CI) (CA INDEX NAME)

227298-10-4 CAPLUS 2,4-Imidazolidinedione, 3-[3-[[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]aminolpropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L11 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 227466-86-6 CAPLUS
CN 2-1midazolidinone,
1-[3-[[(2R)-2-[(2R)-3,4-dihydro-2H-1-benzopyran-2-y1]-2hydroxyethyl]amino[propyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 227466-88-8 CAPLUS
CN 2-Imidazolidinone,
[-[3-[[(2R)-2-[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]-2-hydroxyethyl]amino]propyl]-, rel-, ethanedicate (1:1) (salt) (9CI)

INDEX NAME)

CM 1

CRN 227466-87-7 CMF C17 H25 N3 O3

Relative stereochemistry.

CM 2

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

Lil ANSWER 18 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:352219 CAPLUS
DOCUMENT NUMBER: 131:102535
TITLE: Ducleic Solid phase synthesis of protected peptide

AUTHOR(S): CORPORATE SOURCE: Karlsruhe,

acids Seitz, Oliver Institut fur Organische Chemie, Universitat

The use of the allylic HYCRON resin allowed for the application of both Boo-

and Fmoc-protecting groups. Highest yields were obtained when the monomeric building block was synthesized on the solid phase rather

loaded as preformed unit. The selective attachment of fluorescent

at the C-terminal (3') end demonstrated for the first time that

further

further
manipulations on protected PNA fragments are feasible.

IT 230618-04-9P REP (Preparation) (PREP (Preparation) (Solid phase synthesis of protected peptide nucleic acids)

RN 230618-04-9 CAPLUS (N 2,58,11,14,17,20,23,26-Nonaazaheptacosanoic acid, 8,14-bis[[1,6-dihydro-6-

oxo-2-[[(phenylmethoxy)carbonyl]amino]-9H-purin-9-yl]acetyl]-27-{(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-

4,10,16,22-tetraoxo-20-{{2-oxo-4-{{(phenylmethoxy)carbonyl]amino}-1(2H)-pyrimidinyl]acetyl}-27-thioxo-, 1,1-dimethylethyl ester (9CI) (CA NAME)

L11 ANSWER 18 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

PAGE 1-A

L11 ANSWER 18 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMEER: 1999; 219800 CAPLUS
TITLE: 130:252243
Sulfonamide-substituted chromans as potassium

INVENTOR(5):

blockers
Brendel, Joachim; Gerlach, Uwe; Lang, Hans Jochen;
Weidmann, Klaus
Hoechst Marion Roussel Deutschland Gmbh, Germany
Eur. Pat. Appl., 67 pp.
CODEN: EPEXEDW
Patent
German
1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.			KIND DATE				APPLICATION NO.					DATE				
	EP	9051	31		A	1	1999	0331		EF	199	98-1	1780	9	1998	0919	
	EP	9051	31		В	1	2002	20410									
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,
PT,																	
			IE,	SI,	LT,	LV,	FI,	RO									
	DE	1974	2509		Α	1	1999	0401		DE	199	97-1:	9742	509	1997	70926	
		2159					2002	20415		AT	199	98-1	1780	9	1998	10919	
	ES	2175	582		T	3	2002	21116		ES	199	98-1	1780	9	1998	10919	
	CN	1212	964		A		1999	0407		CIV	199	98-1	1953	8	1998	0922	
	NZ	3320	29		A		2000	0428		NZ	199	98-3	3202	9	1998	0924	
	BR	9803	974		A		2000	0509		BF	199	98-3	974		1998	0924	
	CA	2249	074		A	A	1999	0326		C.P	199	98-2	2490	74	1998	0925	
	ZA	9808	790		A		1999	0326		ZA	199	98-8	790		1998	0925	
	NO	9804	475		A		1999	0329		NC	199	98-4	475		1998	0925	
	ΑU	9887	083		A	1	1999	0415		AU	199	98-8	7083		1998	0925	
	ΑU	7418	10		B	2	2001	1213									
	JP	1115	8170		A:	2	1999	0615		JP	199	98-2	7216	7	1998	0925	
	บร	5955	607		A		1999	0921		US	199	98-1	6030	4	1998	0925	
PRIO	RIT	Y APP									97-	1974	2509	Α	1997	10926	
OTHE	R S	URCE	(S):			MAF	PAT	130:	25224	13							

Sulfonylaminochromans I (R1, R2 = H, (un)substituted alkyl, AB Sulfonylaminochromans 1 (R1, A2 - 4,)...
fluoroalkyl,
Ph; R1R2 - alkylene; R3 - (un)substituted alkyl, amino; R4 -

L11 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

FORMAT

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR RECORD, ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
(un)substituted alkyl, acyl, carbamcyl, carbamcyl, R5-R6 = H, halo,
(un)substituted alkyl, Ph, OH, SH, acyl, aminosulfonyl, carbamcyl;
R9 = H,
(un)substituted OH, B = H; R9B = bond) were prepd. for use as
antiulcer
and antiulcar
5,-Me(H0)CH3Ac was
cyclized with Et2CO to give 2,2-diethyl-6-methyl-4-chromanone which
was reductively aminated with NH4OAc, ethylsulfonylated, and treated with BFCHZCOZMe, followed by ester hydrolysis and amidation to give the chroman

II. II had an IC50 for human Isk protein binding of 1 .mu.M.

IT 221519-74-5P 221619-79-0P IT 221619-74-5F 221619-79-0P

RL: SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological Study); PREP (Preparation); USES (Uses)

(preph. of sulfonamide-substituted chromans as potassium channel blockers)

RN 221619-74-5 CAPLUS

CN Pentanamide, 5-[(3,4-dihydro-2,2,6-trimethyl-2H-1-benzopyran-4-y1) (ethylsulfonyl) amino]-N-[3-(1H-imidazol-1-y1) propyl]- (9CI) (CA INDEK NAME)

221619-79-0 CAPLUS
Acetamide, 2-[(ethylsulfonyl)(6-fluoro-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl)amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA NAME)

PAGE 1-A

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:140502 CAPLUS
DOCUMENT NUMBER: 130:252655
TITLE: Synthesis of a new class of HIV-1 inhibitors
AUTHOR(S): DI Giorgio, Audrey Farese; Pairot, Sandrine;
Patino, Nadia: Condom, Roger: Di Giorgio, Christophe: Aumelas, Andrd, Aubertin, Anne-Marie, Guedj, Roger Laboratoire de Chimie Bio-Organique, CNRS ESA CORPORATE SOURCE: 6001, Universite de Nice Sophia-Antipolis, Nice,

F-06108,

F-06108,

SOURCE: Fr.

SOURCE: Nucleosides & Nucleotides (1999), 18(2), 263-275

CODEN: NUNUD5: ISSN: 0732-8311

Marcel Dekker, Inc.

Journal
LANG(JAGE: Aprilan April analogs (FNA dimers) bound, through a linker, to an arginine residue. In

(PNA Gimers) Bround, Controls this series, several mols. inhibit viral development in cell culture with micromolar IC50 values and without cellular toxicity until 200.mu.M

CONCI.
IT 221665-17-4P 221665-18-5P 221665-19-6P 221665-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. of arginine-linked peptide nucleic acid derivs. as new .

HIV-1
inhibitors)
RN 221665-17-4 CAPLUS
CN L-0-cnithine,
N-[6-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[[1,6-

dihydro-6-oxo-2-{(phenylmethyl)amino]-9H-purin-9-yl)acetyl}glycyl-,beta.-alanyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

Ph-

221665-18-5 CAPLUS

RN 221665-18-5 CAPLUS
CN L-Ornithine,
N-(6-[[6-[bis[[1,1-dimethylethoxy]carbony1]amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]-N-[{1,6-dihydro-6-oxo-2-[(phenylmethyl)amino]-9H-purin-9-yl]acetyl]glycyl-6-

aminohexanoyl-N5-[[[[3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl]sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221665-19-6 CAPLUS
CN L-Ornithine,
N-[[6-[bis[(1,1-dimethylethoxy)carbonyl]amino]-9H-purin-9-

yl]acetyl]-N-[6-[[1,6-dihydro-6-oxo-2-[(phenylmethyl)amino]-9H-purin-9-yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-

.beta.-alanyl-N5-[[[3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-B

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-B

RN 221665-20-9 CAPLUS
CN L-Ornithine,
N-[[6-[bis[{1,1-dimethylethoxy}carbony1]amino]-9H-purin-9-

yl]acetyl]-N-[6-[[1,6-dihydro-6-oxo-2-[(phenylmethyl)amino]-9H-purin-9-

yl]acetyl]-4,10-dioxo-12-phenyl-11-oxa-3,6,9-triazadodec-1-yl]glycyl-6-

aminohexanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME) Absolute stereochemistry.

L11 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR
THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 21 OF 42 CAPLUS COFYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:223897 CAPLUS
DOCUMENT NUMBER: 128:321856
TITLE: Synthesis and hybridization properties of an acyclic
                                                      achiral phosphonate DNA analog
Kehler, Jan; Henriksen, Ulla; Vejbjerg, Helene;
 AUTHOR(S):
Dahl,
                                                     Otto
Department of Chemistry, The H. C. Orsted
CORPORATE SOURCE:
Institute,
                                                     University of Copenhagen, Copenhagen, DK-2100,
 Den.
SOURCE:
315-322
                                                     Bioorganic & Medicinal Chemistry (1998), 6(3),
                                                     CODEN: RMECEP; ISSN: 0968-0896
Elsevier Science Ltd.
Journal
English
PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
AB Protected
LANGUAGE: English
AB Protected
N-(2-hydroxyethyl)-N-(nucleobase-acetyl)aminomethanephosphonic
acids of all four DNA nucleobases have been prepd. and oligomerized
by
           solid-phase synthesis. Four DNA decamers contg. 1-10 of these "PFNA" monomers were prepd. and evaluated by Tm measurements (medium salt)
for binding to their DNA and RNA complements. One central modification reduced the binding strongly (.DELTA.Tm = -10.degree.C), but contiguous PPNA monomers gave smaller effects, and the all-PPNA decamer bound
with a .DELTA.Tm or -1.2.Gegrees per month.

Oligomers are inferior DNA and RNA binders compared to the closely related and strongly binding PNA oligomers.

IT 206861-70-3P 206861-72-5P 206861-75-8P 206861-79-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)
(synthesis and hybridization properties of an acyclic achiral
phosphonate DNA analog)

RN 206861-70-3 CAPLUS
CN Phosphonic acid,
[[[[6-[benzoylamino]-9H-purin-9-y1]acety1][2-[(9-phenyl-
9H-xanthen-9-y1)oxy]ethy1]amino]methy1]-, dimethy1 ester (9CI) (CA
INDEX
           NAME)
```

L11 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-A

RN 206861-75-8 CAPLUS
CN Phosphonic acid,
[[[[6-(bncylemino)-9H-purin-9-y1]acety1][2-[[9-phenyl9H-xanthen-9-y1]oxy]ethyl]amino]methyl]-, monomethyl ester, compd.
with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

N,N-diethylethanamine
CM 1
CRN 206861-74-7
CMF C37 H33 N6 07 P

L11 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-A

RN 206861-72-5 CAPLUS
CN Phosphonic acid,
[[[[,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-

L11 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

PAGE 2-A

CM 2 CRN 121-44-8 CMF C6 H15 N

Et | | | Et-N-Et

RN 206861-79-2 CAPLUS
CN Phosphonic acid,
[[[[1,6-dihydro-2-[(2-methyl-1-exopropyl)amino]-6-exo-9H-

purin-9-yl] acetyl][2-[(9-phenyl-9H-xanthen-9-yl) oxy]ethyl] amino] methyl]-, monomethyl ester, compd. with N, N-diethylethanamine (1:1) (9CI) (CA

L11 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) NAME)

CM 1

PAGE 1-A

PAGE 2-A

CM 2

Et | Et-N-Et

L11 ANSWER 22 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:499168 CAPLUS
DOCUMENT NUMBER: 127:190649
TITLE: Preparation of 9-aralkyl-9-fluorenecarboxamides
and

analogs as microsomal triglyceride transfer

protein

INVENTOR(S):

inhibitors Biller, Scott A.; Dickson, John K.; Lawrence, R. Michael: Magnin, David R.; Poss, Michael A.;

Robl.

Jeffrey A.; Slusarchyk, William A.; Sulsky,

Richard

PATENT ASSIGNEE(S): SOURCE:

B.; Tinc, Joseph A.
Bristol-Myers Squibb Co., USA
PCT Int. Appl., 615 pp.
CODEN: PIXXD2
Patent
English
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ETO	9726	- 40				1007									
WU														1997	
	w:	AL,	AM,	AT,	AU,	AZ,	вв,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE
		ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LK
		LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO
		SE,	SG,	sī,	sĸ,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,	VN,	AM,	ΑZ
		¥7.	MD,	110	т.т	тм									
	RW:						UG.	AT.	BE.	CH.	DE.	DK.	ES.	FI,	FR
									,	,	,	,	,	,	
		1E,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA
			ΝE,												
	2236					1997								1997	
	9718			A		1997			A	U 19	97-1	8285		1997	011
	7167			В	2	2000	0302								
	1209			Α		1999	0303							1997	
EP	9042	62		A	1	1999	0331		E	P 19	97-9	0380	5	1997	011
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE
		IE,	WT.												
RD.	9707		••	A		1999	0727		10	D 10	97-7	607		1997	011
	2000		56	Î		2000					97-5			1997	
	9700		55	À		1997					97-3			1997	
	9803			A		1998									
	APP		*****			1338	0/15				98-3			1998	
KIL	APP.	LN.	INFO	. :							1034			1996	
											1722			1996	
											3037) US58			1996 1997	

L11 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: THIS

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 22 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

R22423ZZ2Z1R1 (R1 = H, (cyclo)alk(en)yl, alkoxy, (hetero)aryl(oxy),

R2 = groups cited for R1, haloalky1, etc.; Z = C0, S00-2, CR(OH); R =

Alkyl, aryl; 21 = (0- or NM-interrupted) (oxo) alk(en)ylene, etc., 22 = (un) substituted SM-fluoren-9-ylidene, SM-xanthen-9-ylidene, etc., 23 = bon, 0, NRS: NS - H or alkyl; R2NS = atoms to form a rinp; 24 = bond, groups cited for 21) were prepd as microsomal triglyceride transfer protein inhibitors (no data). Thus, SM-fluoren-9-carboxylic acid was alkylated by TsOCH2CH2C.tplbond.CH and the product amidated by H2CH2CH2C.

9-(3-butynyl)-N-(2,2,2-trifluoroethyl) fluorene-9-carboxamide which was arylated by 2-bromo-5-nitropyridine to give, after redn. and BzCl amidation, title compd. I.

IT 184210-71-4P

RL: RAC (Biological activity or effector, except adverse); BSU (Biological)

study, unclassified); SFN (Synthetic preparation); THU (Thera use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 9-aralky1-9-fluorenearboxamides and analogs as microsomal)

osomal triqlyceride transfer protein inhibitors) 194210-71-4 CAPUS 9H-Xanthene-9-carboxamide, N-[2-(2-oxo-1-imidazolidinyl)ethyl]-9-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

L11 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:433584 CAPLUS
1000CUMENT NUMBER: 127:81770 CAPLUS
11TLE: Fluorescein-Conjugated Lysine Monomers for Solid Synthesis of Fluorescent Peptides Lohse, Jesper, Nielsen, Peter E.; Harrit, Niels; AUTHOR (5): Dahl, Otto
Department of Chemistry H. C. Orsted Institute,
University of Copenhagen, Copenhagen, DK-2100, CORPORATE SOURCE: Den. SOURCE: Bioconjugate Chemistry (1997), 8(4), 503-509 CODEN: BCCHES; ISSN: 1043-1802 American Chemical Society Journal CODEN: BCCHES; ISSN: 1043-1002

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUNGE: English

AB Fluorescein Et ester was used to prep. the fluorescent mixed

ester/ether

6-0-(carboxymethyl) fluorescein Et ester. Conjugation of the latter

fluorescein deriv. to the .epsilon.-amino group of

alpha.-N-Boo-L-lysine,

via the N-bydroxysuccinimde ester, gave the Boo-protected

fluorescein-conjugated lysine monomer. Removal of the Boc group,

followed fluorescein-conjugated lysine monomer. Removal of the socytop.

followed by reaction with Fmoc chloride, gave the Fmoc-protected monomer. These

Boc- and Fmoc-protected fluorescein-conjugated lysines were readily incorporated into peptides and FMA oligomers during solid phase synthesis.

to give fluorescent products. Mass spectroscopy and UV studies showed that the fluorophore remains unchanged during solid phase synthesis. In contrast to fluorescein, the photophys. properties of these derivs. are pH are pH dependent from pH 3 to 8, with a molar absorption coeff.,
epsilon.max
456, of 2.9 .times. 104 M-1 cm-1 and fluorescence quantum yield,
.phi. of 0.18.
IT 191791-31-8P
RL: SPN (Synthetic preparation), PREP (Preparation)
(fluorescein-conjugated lysine monomers for solid phase synthesis of

of

of fluorescent peptides)
RN 191791-31-8 CAPHUS
CN Peptide nucleic acid,
(acetyl-T-N6-[[[9-[2-(ethoxycarbonyl)phenyl]-3-oxo3H-xanthen-6-yl]oxy]acetyl]-Lys-C-G-T-A)-Lys-NH2 (9CI) (CA INDEX
NAME) NAME)

Absolute stereochemistry.

L11 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C

L11 ANSWER 24 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
DOCUMENT NUMBER:
1997:113481 CAPLUS
126:115395
Filturescent labeling reagents
Ahlem, Clarence N., Torkelson, Steven M.
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC, NUM. COUNT:
PATENT INFORMATION:

APPLICATION NO. DATE

PAGE 2-A

PATENT NO. KIND DATE

AB A class of sulforhodamine labeling reagents capable of binding with a biomol. species to produce a conjugate with fluorescent properties is disclosed. The sulforhodamine labeling reagents have structure I. The group X is selected from an alkyl, an olefin, a monocyclic aliph. satd.

L11 ANSWER 24 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 24 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) hydrocarbon, an aryl, or nothing at all. Alkyl denotes an acyclic satd.

aliph. straight or branched chain hydrocarbon. An olefin denotes an unsatd. aliph. hydrocarbon. An aryl is used to denote an arom. ring, a substituted arom. ring, and fused arom. rings. The group Y is selected from an amide, a substituted amide, or nothing at all. The group Z is selected from an moneyclic aliph. hydrocarbon, an aryl, or an alkyl, as defined with respect to group X, a polyethylene glycol chain of the general from (CHZCH2O)n, or nothing at all. The alkyl or polyethylene glycol chain may further have inert intermediate amide, ether, or disulfide functionalities. The group X, group Y, and group Z cannot be nothing at all or non-existent. The group R is an electrophilic moiety suitable for conjugation of the fluorescent labeling reagent with a biomol. species. Also disclosed in a method of making the resgents. It 166189-44-3P RI: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREF (Preparation); USES (Uses)

(SUlforhodamine-based fluorescent labeling reagents for biomols.)

RN 186189-44-3 CAPLUS

CN 11, SH, 11H, 15H-Kantheno (2, 3, 4-i): 5, 6, 7-i'j'diquinolizin-18-ium, 2, 3, 6, 7, 12, 13, 16, 17-octahydro-9-{4-[([2-[[5-[R+imidazo-1-yl]-1, 5-[and to occenty] and not styll sminol sulfoy)] -2-sulfophenyll-, inner salt

O= S== O
NH
CH2
CH2
NH

PAGE 1-A

(CA INDEX NAME)

L11 ANSWER 25 OF 42
ACCESSION NUMBER:
DOCUMENT NUMBER:
117LE:
118-6:494615 CAPLUS
125:167686
5-Aminoflavone derivatives with antiestrogenic, antibacterial and antitumor activity
Akama, Tsutomu, Ikeda, Shun-ichi, Shida, Yasushi, Kasai, Masaji, Ishida, Hiroyuki, Kimura, Ujchiro;
Gomi, Katsushige, Saito, Hiromitsur Ueno, Kimihisa Kyowa Hakko Kogyo Co., Ltd., Japan
U.S., 81 pp., Cont.-in-part of U.S. Ser. No.
CODEN: USXXAM

DOCUMENT TYPE:
LANGUAGE:
PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

1 S5539112 A 19960723 US 1995-464093 19950605
CA 2187197 AA 19960815 WO 1996-JP181 19960131
WO 9624592 AI 19960815 WO 1996-JP181 19960131
WF AU, CA, JP, KR
KW: AT, EE, CH, DR, DK, ES, FR, GB, GR, IE, IT, LU, MC, NI, PT, SE
AU 9645474 AI 1996087 AI 1996-5474 19960131
R: DE, FR, GB, IT
PRIORITY APPLN. INFO:

US 1993-19310 A 19930208
JP 1993-19310 A 19930818
US 1993-14696 BI 19930208
JP 1993-19310 A 19930811
JP 1993-204356 A 19930818
US 1994-20264 BE 19940307
US 1994-20264 BE 19940307
US 1994-20264 BE 19940307
US 1994-208301 B 19950206
WO 1996-JP181 W 19960131

OTHER SOURCE(S):

MARPAT 125:167686

AB 5-Aminoflavone derivs. represented by the formula I, wherein R1, R2,

L11 ANSWER 25 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) and R4 are the same or different and represent hydrogen, substituted unsubstituted lower alkyl, lower alkenyl, halogen-substituted or unsubstituted lower alkanoyl or lower alkoxycarbonyl, X1, X2, Y1 and Y2 are the same or different and represent hydrogen, halogen or lower alkyl at least one of X1 and X2 represents halogen or lower alkyl, X3 hydrogen, substituted or unsubstituted lower alkyl, lower alkenyl, lower r alkynyl, halogen, hydroxy, substituted or unsubstituted lower alkoxy, NRSR6 (wherein R5 and R6 are the same or different and represent hydrogen, or substituted or unsubstituted lower alkyl, or R5 and R6 are taken together to form a heterocyclic group contg. the nitrogen atom in the ring), lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, ring), lower slaythno, core and core alkanoyl, azido, cyano, substituted or unsubstituted carbamoyl or lower alkylthiothiocarbonyl: or pharmaceutically acceptable salts thereof. I were tested for antiestropenic, antibacterial and antitumor activity.

IT 152169-54-59 RL: BAC (Biological activity or effector, except adverse); BSU (Biologica)
study, unclassified): IMF (Industrial manufacture): SPN (Synthetic preparation) THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): DESE (Uses) (prepn. of 5-aminoflavone derivs. with antiestrogenic, antibacterial and antitumor activity)
RN 152169-54-5 CAPLUS
CN 4H-1-Benzopyran-4-one, 5-amino-6, 8-diflucor-2-(3-flucor-4-[6-(1H-imidazol-1-yl)hexyl]amino]phenyl]- (9CI) (CA INDEX NAME) (Biological NH- (CH2) 6-

152169-53-4P 180595-95-3P
RL: IMF (Industrial manufacture), SPN (Synthetic preparation), THU (Therapeutic use); BIOL (Biological study); PREP (Preparation), USES (Uses)

(prepn. of 5-aminoflavone derivs. with antiestrogenic, antibacterial ntDacterial
and antitumor activity)
N 152169-53-4 CAPLUS
N 4H-1-Benzopyran-4-one,
-amino-6,8-difluoro-2-[3-fluoro-4-[[3-(1H-imidazol-

L11 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:631379 CAPLUS
DOCUMENT NUMBER: 1924:631379 CAPLUS
TITLE: 12:231379
Preparation of salmon calcitonin and its pharmaceutical use Yotsuto. Has Kooto
SOURCE: Sato Pharma, Japan
Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: XKXXAF
Patent
Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent Japanese

PATENT NO. KIND DATE APPLICATION NO. JP 06016694 A2 19940125 JP 1992-174236 JP 1992-174236 19920701 PRIORITY APPLN. INFO.:

BOC-Cys-Ser-(tBu)-Asn-Leu-Ser(tBu)-Thr(tBu)-Cys-- Val-Leu-Gly-OH

AB Salmon calcitonin (I), useful for treatment of osteoporosis, Paget's disease, Ca disorder, and osteoclastic carcinoma (no data), is

11

oliesase, Ca disorder, and osteoclastic catching in a high yield by condensation of protected cyclic peptide II, Fmoc-Lys (Boc) -Leu-Ser(tBu) -Gln-Glu(OtBu) -Leu-OH, His-Lys-Leu-Gln-Thr-Tyr- Pro-OH, and Arg-Thr-Asn-Thr-Gly-Ser-Gly-Thr-Pro-NH2. Coupling of II

H-Lys (Boc) -Leu-Ser (tBu) -Gln-Glu (OtBu) -Leu-His (Bum) -Lys (Boc) -Leu-Gln-

Thr (tBu) -Tyr (tBu) -Pro-Arg (Pmc) -Thr (tBu) -Asn-Thr (tBu) -Gly-Ser (tBu) -Gly-Thr (tBu) -Pro-NH2 in DMF at 0.degree. in the presence of EDPA, HOBT,

TBTU gave 100% protected I, which was treated with 95% TFA to afford I

acetate. 158000-59-0P 158000-60-3P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)
(prepn. and reaction of)
RN 158000-59-0 cApte.
CN L-Prolinamide,
1-[((2-methyl-1-oxopropy))amino]methyl]-L-histidyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-dimethylethoxy)carbonyl-L-lysyl-L-ly

L11 ANSWER 25 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) 1-yl)propyl]amino]phenyl]- (9CI) (CA INDEX NAME)

180595-95-3 CAPLUS
4H-1-Benzoyyran-4-one,
amino-6,8-drifluoro-2-[3-fluoro-4-[[6-[lH-imidazol1-y1)hexy1]amino]pheny1]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

L11 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) dimethylethyl)-L-threonyl-O-(1,1-dimethylethyl)-L-tyrosyl-L-prolyl-NS-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2R-1-benzopyran-6-

yl) sulfonyl] amino] iminomethyl] -L-ornithyl-O-(1,1-dimethylethyl) -L-threonyl-

L-asparaginyl-0-(1,1-dimethylethyl)-L-threonylglycyl-0-(1,1-dimethylethyl)-L-serylglycyl-0-(1,1-dimethylethyl)-L-threonyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

RN 158000-60-3 CAPLUS
CN L-Prolinamide,
N6-{(1,1-dimethylethoxy)carbonyl}-L-lysyl-L-leucyl-O-(1,1-

dimethylethyl) -L-seryl-L-glutaminyl-L-.alpha.-glutamyl-L-leucyl-1-[[(2methyl-1-oxopropyl)amino]methyl]-L-histidyl-NG-[(1,1dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-glutaminyl-0-(1,1-

dimethylethyl)-L-threonyl-0-{1,1-dimethylethyl}-L-tyrosyl-L-prolyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-

yl) sulfonyl] amino] iminomethyl] -L-ornithyl-O-(1, 1-dimethylethyl) -L-threonyl

 $L-asparaginy 1-O-\left(1,1-dimethylethyl\right)-L-threenylglycyl-O-\left(1,1-dimethylethyl\right)$ L-serylglycyl-O-(1,1-dimethylethyl)-L-threenyl-, 1,1-dimethylethyl

(9CI) (CA INDEX NAME) Absolute stereochemistry.

L11 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-C

PAGE 1-D

PAGE 1-A

PAGE 1-B

L11 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:106766 CAPLUS
DOCUMENT NUMBER: 120:106766
TITLE: 5-aminoflavone derivative antibiotics and antitumor

agents
Akama, Tsutomu; Shida, Yasushi; Ikeda, Shunichi;
Kasai, Masajir Ishida, Hiroyukir Kimura, Uichiroi;
Gomi, Katsushiger Saito, Hiromitsus Ueno, Kimihisa
Kyowa Hakko Kogyo Co., Ltd., Japan
Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
Patent
English
3 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE EP 1993-102139 19930211 PATENT NO. EP 556720 Al 19930825
EP 556720 Bl 19970917
R: DE, FR, GB, IT
JP 05286962 A2 19931102
PRIORITY APPLN. INFO.
CHER SOURCE(5): MARPAT 120:10 KIND DATE 12 19931102 JP 1993-14597 19930201 JP 1992-28113 A 19920214 MARPAT 120:106766

The title compds. I [R1-R4 = H, (un)substituted lower alkyl, lower alkenyl, halogen, substituted or unsubstituted lower alkanoyl or lower alkoxycarbonyl; X1, X2, Y1, Y2 = H, halogen; provided that .gtoreq.l of X1

and X2 = halogen), which have antibacterial and antitumor activity,

are
prepd. Thus,
5-amino-6,8-difluoro-2-[4-[(3-phthalimidopropyl)amino]phenyl
]-4H-1-benzopyran-4-one was reacted with hydrazine monohydrate,
producing

5-amino-2-[4-[(3-aminopropyl)amino]phenyl]-6,8-difluoro-4H-1-benzopyran-4-one, which demonstrated min. inhibitory conon. against Bacillus subtilis of 2.6.mu. g/ml.

IT 152169-53-4 152169-54-5

L11 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified): BIOL (Biological study)
study, unclassified): BIOL (Biological study)
(antibiotic and antitumor activity of)
RN 152169-53-4 (APLUS
CN 4H-1-Benzopyran-4-one,
5-amino-6, 8-difluoro-2-[3-fluoro-4-[[3-(1H-imidazol-1-yl)propyl]amino]phenyl]- (9CI) (CA INDEX NAME)

152169-54-5 CAPLUS 4H-1-Benzopyran-4-one, mino-6,8-difluoro-2-[3-fluoro-4-[[6-(1H-imidazol-1-y1)hexy1]amino]pheny1]- (9CI) (CA INDEX NAME)

152168-85-9P 152169-53-4P 152169-54-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antibiotic and antitumor activity of, reaction of)
152168-85-9 CAPLUS

CAN 4H-1-Benzopyran-4-one,
5-amino-6,8-difiuoro-2-(3-fluoro-4-[[6-(1H-imidazol1-yl]hexyl]amino|phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

L11 ANSWER 28 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:536694 CAPLUS
TITLE: 15:136094
Preparation of (2S, 4S)-6-fluoro-2',5'dioxopiro[chroman-4,4'-imidazolidine]-2carbohydrazides and -carboxamides as aldose

reductase

inhibitors Kurono, Masayasu; Unno, Ryoichi; Kimura, INVENTOR(S): Hiromoto;

Tomiya, Noboru: Sawai, Kiichi: Miura, Kenji;

Toshinao; Kondo, Yasuaki; Tanaka, Yukiya; et al. Sanwa Kagaku Kenkyusho Co., Ltd., Japan Eur. Pat. Appl., 31 pp. CODEN: EPXXDW

PATENT ASSIGNEE(S): SOURCE:

English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

P.	TENT 1	w.		KIND	DATE			AP:	PLICA	TION	NO.	DATE
E	41883	34		A1	1991	0327		EP	1990	-117	7960	19900918
	R:	AT,	BE,	CH, DE	, DK,	ES,	FR, (В, (GR, I	т, 1	LI, LU	, NL, SE
JI	0310	5885		A2	1991	0507		JP	1989	-242	2301	19890920
Ji	29229	930		B2	1999	0726						
JE	04009	384		A2	1992	0114		JP	1990	-110	136	19900427
JE	06099	9308		B4	1994	1207						
JF	04009	385		A2	1992	0114		JP	1990	-110	137	19900427
US	51643	391		A	1992	1117		UŞ	1990	-582	2039	19900913
PRIORIT	Y APP	N.	INFO.	:			JI	19	89-24	2301	l	19890920
							JI	19	90-11	0136	5	19900427
							JI	19	90-11	0137	,	19900427

OTHER SOURCE(S): MARPAT 115:136094

AB The title compds. [1; R = CONHNHR1, CONHOR2, CONR3R4, CONH (CH2) mANSAR6, CONH (CH2) nR7; R1 = H, alkyl, alkanoyl, furyl, thienyl, (un) substituted Ph or naphthyl; R2 = H, alkyl, (un) substituted Ph; R3, R4 = any of definitions for R2, aralkyl; R3R4N may form (un) substituted C5-6 satd.

heterocyclyl optionally contg. N or O: R5R6N = (un)substituted satd.

L11 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

152169-53-4 CAPIUS
4H-1-Benzopyran-4-one,
ino-6,8-difluoro-2-(3-fluoro-4-[3-(1H-imidazol1-y1)propy1]amino]pheny1]- (9CI) (CA INDEX NAME)

152169-54-5 CAPLUS 4H-1-Benzopyran-4-one, nino-6,8-difluoro-2-[3-fluoro-4-[[6-(1H-imidazol-1-yl)hexyl]amino]phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 28 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
heteroring optionally contg. N, Or Q = H, (CH2) MRRR9; R7 = nitroxy
radical, heteroaryl; R8, R9 = (un) substituted alkyl; R8R9N as defined

R5R6N; R10, R11 = H, halo, alkyl(mercapto), alkony; X = O, N; m, n, r

2-5] and their salts, aldose reductase inhibitors useful for the

treatment of circulatory diseases and complications of diabetes, were prepd.

(28,48)-6-Fluoro-2',5'-dioxospiro[chroman-4,4'-imidazolidine]-2-carboxylic acid was converted (quant.) to its chloride by SOC12 and stirred for

at 25.degree. with PhNENNI2 and Et3N in DMF to give 65.9% (crystd.) title 2.(N'-phenyl)carbohydrazide (II). The latter in vitro inhibited

aldose

aldose
reductase with IC50 = 2.2 .times. 10-8 M vs. 2.0 .times. 10-7 M for sorbinil. II relaxed norepinephrine-induced contraction of guinea pig acrts with IC50 of 8.0 .times. 10-7 M vs. 1.0 .times. 10-4 M for cinnarizine. Tablets contg. II were formulated.

II 136044-58-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

use);

Absolute stereochemistry.

L11 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:449507 CAPLUS
DOCUMENT NUMBER: 115:49507
TITLE: 115:49507
Fluorescent markers 6----

Fluorescent markers for hypoxic cells: a study

nitroaromatic compounds, with fluorescent heterocyclic

side chains, that undergo bioreductive binding Hodgkiss, Richard J.; Jones, Gareth W.; Long, AUTHOR(S): Anthony;

Middleton, Richard W.; Parrick, John; Stratford, Michael R. L.; Wardman, Peter; Wilson, George D. Gray Lab. Cancer Res. Campaign, CORPORATE SOURCE: Northwood/Middlesex,

HA6 2JR, UK Journal of Medicinal Chemistry (1991), 34(7),

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

Journal English CASREACT 115:49507

Several novel title compds. e.g., I (R = H, n = 3, 5, 9; R = Ac, n =

and II (R = H, MeO) having both a 2-nitroimidazole nucleus and a fluorescent ring system in their mol. structure were prepd. and evaluated

evaluated
as potential fluorescent probes for hypoxia. Bioredn. of
nitroimidazoles,
which is inhibited by oxygen, is known to lead to binding of
bioreductive
metabolites to cellular macromols. and this provides a mechanism for
binding the fluorescent moiety to hypoxic cells. These compds. can
incorporate a wide range of fluorophors and can therefore be
designed to

ned to suit the laser-line wavelengths available for excitation of

Sult the laber-line server.

fluorescence
in the flow cytometer. Several nitroimidazoles with naphthalimide

chains were rapidly taken up into cells and became concd. in the chains were rapidly taken up into cells and became concd. in t cells, thus reducing their concn. in the extracellular medium. This suggests a

L11 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

L11 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) potential microscopic bioavailability problem with probes of this type when used in vivo as they would become progressively depleted in the extracellular fluid as they diffused from blood vessels, through

layers of packed cells in tumors, to the hypoxic cells where they could undergo hypoxia-specific metab.

IT 133932-19-19 133932-28-22

RI: SFN (Synthetic preparation); PREP (Preparation) (prepn. of, as fluorescent marker for hypoxic cells)

RN 133932-19-1 CAPIUS

CM 2H-1-Bencopyren-3-carboxamide,
N-[2-{(2-hydroxy=thy1){2-hydroxy-3-(2-nitro-1H-imidazol-1-y1)propy1}amino]ethy1]-7-methoxy-2-oxo- (9CI) (CA INDEX NAME)

133932-28-2 CAPLUS
2H-1-Benzopyran-2-one, 4-[[[2-[[2-hydroxy-3-(2-nitro-lH-imidazol-1-yl)propyl] amino] ethyl]thio]methyl]-7-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

L11 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1991:207838 CAPLUS DOCUMENT NUMBER: 114:207838 Freparation of faminoshi

114:207838
Preparation of (aminothicacyl)benzimidazolones as thicacylating reagents and intermediates for the preparation of thiopeptides
Brillon, Denis, Sauve, Gilles, Boulos, Zacharie;

INVENTOR(S):

Belleau, Bernard IAF Bjochem International Inc., Can. PCT Int. Appl., 83 pp. CODEN: PIXXU2 Patent English 3 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE W: 9101976 Al 19910221 WO 1990-CA248 19900803 W: AT, AU, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR,

NL, NO, RO, SD, SE, SU RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU.

ML, MR, NL, SE, SN, TD, TG
US 5138061 A 19920811 US 1989-389852 19890804
CA 2059647 AA 19910205 CA 1990-2059647 19900803
CA 2059647 C 20010605
AU 9060642 AI 19910311 AU 1990-60642 19900803
AU 651557 E2 19940728
EP 485458 AI 19920520 EP 1990-911857 19900803
EP 485458 BI 19971022
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE
JP 05501865 T2 19930408 JP 1990-510785 19900803
PJ 3165699 BZ 20010514
HU 63395 AZ 19930830 HI 1992-3138 19900803
AT 159521 E 19971115 AT 1990-911857 19900803

EF 485458 R: AT, BE, CI JP 05501865 JP 3165698 HU 63395 AT 159521 ES 2109236 PRIORITY APPLN. INFO.: 12 2010514 22 1930380 HU 1992-338 19900803 23 19971115 AT 1990-911857 19900803 23 19980116 E1990-911857 19900803 US 1989-389852 A 19890803 US 1999-CA248 A 19900803 CASREACT 1141207838 MARPAT 1141:207838

OTHER SOURCE(S):

(Aminothicacyl) benzimidazolones I [R1 = (protected) amino acid side AB (Aminothioacyl) benzimidazolones I [R1 = (protected) amino acid side chain; R2 = amino protecting group; R3 = H, Me, Et, R1R3 = (CH2) n, n = 2-4; R4 = L11 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) H, R1R4 = (CH2)m, n = 1-5; R5 = H, halogen, amido, amino, guanidino, согн.

CO2H, (CA) M, CH2OH, M - 1-3 KS - M, Naloge, and do, mainly, guantelino.

CO2He, CN, OH, CH2OH, SH, NO2] are prepd. as thioacylating agents, intermediates in the prepn. of thiopeptides. Thus, condensation of I, 2-(HZN) 2C6H4 with Boc-Ser(CHZPh)-OH (Boc - Me3COZC) gave aminoanilide

Boc-Ser(CH2Ph)-NHC6H4NH2-2 (II) in 97% yield. Sulfuration of II with P2S5

gave thioamide Boc-L-NHCH(CH2OCH2Ph)CSNHC6H4NH2-2 (III) in 50% yield. Cyclocondensation of III with carbonyl ditriazole gave L-1 (Rl = CH2OCH2Ph, R2 = Boc, R3-R5 = H) in 91% yield. Several I underwent soln.

and solid-phase peptide coupling reactions to give thioamide analogs tuftsin and thymopentin. The immunomodulatory activity of 4-thiothymopentin on T cell development showed 128-227% increase over control animals. 133704-10-69 133704-14-0P RL: SFN (Synthetic preparation); PREP (Preparation) (prepn. of) 133704-10-6 captus Carbamic acid

NN 13704-10-0 CAPLUS

(Carbanic acid,
[1-{(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)thioxomethyl]-3
oxo-3-(9H-wanthen-9-ylamino)propyl]-, 1,1-dimethylethyl ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 133704-14-0 CAPLUS
CN Carbamic acid,
[1-[(2,3-dh)wdro-2-oxo-1H-benzimidazol-1-yl)thioxomethyl]-4coxo-4-(9H-xanthen-9-ylamino)butyl]-, 1,1-dimethylethyl ester, (s)-

(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1990:497313 CAPLUS TITLE: Reactions of 3-formylvisnagin and 3-formylkhellin with

2-thiohydantoin derivatives Abdelaziz, Mahfouz A.; Hishmat, O. H.; El-Naem, AUTHOR(S): S. I.;

Fawzy, N. M.
Fac. Sci., Cairo Univ., Giza, Egypt
Sulfur Letters (1990), 10(6), 255-67
CODEN: SULED2: ISSN: 0278-6117
Journal
English
CASREACT 113:97313 CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

AB 3-Formylvisnagin and 3-formylkhellin condensed with the 2-thiohydantoin derivs to afford the 4-arylidene-2-thiohydantoins I (R = H, OMe; R1, R2 = N, Ph; X = S. When I (X = S) were fused with primary arom. amines the

2-arylimino derivs. I (X = NPh, NC6H4Me-4) were obtained. I (R = H,

R1 = H, Ph; R2 = H; X = S) have been condensed with CH2O and PhNH2

to give

I (R2 = CH2NHPh). Treatment of I (R = H, OMe, R1 = R2 = H, X = S)

with CICH2CO2H gave the corresponding acids which on cyclization with

ClCH2CO2H gave the corresponding content of the imidazothiazole derivs. II.

17 128338-64-22 128338-65-39 128338-66-4P 128338-67-59 RL: SPN (Synthetic preparation); PREF (Preparation) (prepn. of)
RN 128338-64-2 CAPLUS

04 - Imidazolidinone, 5-[(4-methoxy-5-oxo-5H-furo[3,2-g][1]benzopyran-6-yllmethylene]-3-[(phenylamino)methyl]-2-thioxo- (9CI) (CA INDEX NAME)

L11 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2003 ACS

L11 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 128838-65-3 CAPLUS
CN 4-Inidazolidinone, 5-[(4-methoxy-5-oxo-5H-furo[3,2-9][1]benzopyran-6-yl]methylene]-1-phenyl-3-[(phenylamino)methyl]-2-thioxo- (9CI) (CA INDEX NAME)

RN 128838-66-4 CAPLUS
CN 4-ImidazOlidinone,
5-[(4,9-dimethoxy-5-oxo-5H-furo[3,2-g][1]benzopyran-6y1)methylene]-3-[(phenylamino)methyl]-2-thioxo- (SCI) (CA INDEX NAME)

L11 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2003 ACS

RN 128838-67-5 CAPLUS
CN 4-Imidazolidinone,
5-[(4,9-dimethouy-5-ouc-5H-furo[3,2-g][1]benzopyran-6y1)methylene]-1-phenyl-3-[(phenylamino)methyl]-2-thioxo- (9CI) (CA
INDEX

L11 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) and IV were studied.

IT 124041-92-P 124041-50-5P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 124041-93-2 CAPLUS
CN 4H, 7H-Imidazo[1,5-a]pyrano[3,2-f]indole-4,7-dione,
8,9-dibyto-5-methoxy-2methyl-8-[(phenylamino)methyl]-9-thioxo- (9CI) (CA INDEX NAME)

RN 124041-50-5 CAPLUS
CN 4H,7H-Imidazo[1,5-a]pyrano[3,2-f]indole-4,7-dione,
8,9-dihydro-5-methoxy-2methyl-8-[[(4-methylphenyl)amino]methyl]-9-thioxo- (9CI) (CA INDEX

L11 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:7422 CAPLUS
TITLE: 112:7422 New synthesis of chromonopyrroloimidazolinones and arylidenethioxoimidazolinones. Study of their antimicrobial activities
Aziz, Mahfouz A. Abdel; Riad, Bahia Y.; Shalaby, AUTHOR (S): A. M. CORPORATE SOURCE: SOURCE: 12-16 Fac. Sci., Cairo Univ., Giza, Egypt Archives of Pharmacal Research (1989), 12(1), CODEN: APHRDQ; ISSN: 0253-6269 Journal English

DOCUMENT TYPE: LANGUAGE: GI

R3 = H, Phr R2 = Ph, R3 = H) to form the corresponding chromonopyrroloimidazolinones III or the arylidenethioxoimidazolinones IV.

The activity of the imidazole moiety NH of III (R = R3 = H) (V) was confirmed by formation of the Mannich bases. Moreover, alkylation of V was gave alkylmercapto derivs. The antimicrobial activities of compds. II

L11 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:416554 CAPLUS
99:16554
Enzyme immunoassay of theophylline
Miles Laboratories, Inc., USA
SOURCE: CODEN: JXXXAF
DOCUMENT TYPE: Patent. DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent Japanese 1

PATENT NO. KIND DATE APPLICATION NO. DATE JF 55046072 A2
JF 02031825 B4
US 453493 A
CA 1210757 A1
EP 77896 A1
EP 77896 B1
K: DE, FR, GB
US 4600772 A
PRIORITY APPIN. INFO.:
OTHER SOURCE(S): C. 19830317 19900717 19850806 19860902 19830504 19890125 JP 1982-146984 19820826 US 1981-296817 CA 1982-406303 EP 1982-107414 19810827 19820629 19820816 19840717 19860826 US 1983-493 US 1981-296817 CASREACT 99:16554

AB Theophylline (1) [58-55-9] is detd. in blood by immunochem. methods.

I -antigens were prepd. for the prepn. of antibodies. For example,
9-(5-carboxypenty)-1,3-dinethylxanthine (11) [68227-48-7]
synthesized and then bound to bovine serum albumin to form an antigen.
Procedures for the enzyme immunochem. detn. of I are described.

II 66227-51-2P
RL: SPN (Synthetic preparation), PREP (Preparation)
(prepn. of, in enzyme immunossay of theophylline)
RN 86227-51-2 CAPLUS
CN 2H-1-Benzopyran-3-carboxamide,
7-(.beta.-D-sqlactopyranosyloxy)-2-oxo-N-[5(1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-9H-purin-9-yl)pentyl]-

(CA INDEX NAME)

Absolute stereochemistry,

L11 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

L11 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

L11 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:160720 CAPLUS
D9:160720
TITLE: Diphenylhydantoin derivatives
Buckler, Robert T.
PATENT ASSIGNEE(S): Buckler, Robert T.
SOURCE: CARCAGA
COEMS. CARCAGA
COEMS. CARCAGA DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. DATE A2 19821221 A 19800108 A1 19820413 CA 1982-393745 US 1978-899844 CA 1979-324409 US 1978-899844 CA 1979-324409 CA 1137987 US 4182856 CA 1121810 PRIORITY APPLN. INFO.: 19820107 19780425 19790329 19780425 19790329 AB Diphenylhydantoins I (R = amino, CO2H; n = 2-6) were prepd. as intermediates in the prepn. of reagents for detecting diphenylhydantoin and its salts in biol. fluids by binding assays. Thus, 3-carbethoxy-5,5-diphenylhydantoin was treated with N-(4-bromobutyl)phthalimide to give I (R = phthalimido, n = 4). Hydrazinolysis of the latter gave I (R = NH2 n = 4).

17 73304-25-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, for diphenylhydantoin detn.)

NN 73304-25-3 CAPLUS
CN ZH-1-Benzopyran-3-carboxamide, N-[4-(2,4-dioxo-5,5-diphenyl-1-imidazolidinyl)butyl]-7-(.beta.-D-galactopyranosyloxy)-2-oxo- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 35 OF 42
ACCESSION NUMBER:
DOCUMENT NUMBER:
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Diphenylhydantoin derivatives
Buckler, Robert T.
Hiles Laboratories, Inc., USA
Can., 37 pp. Division of Can. Appl. No. 324,409.
CODEN: CAXXA4
Patent
English 4 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO.

CA 1982-393746
US 1978-899844
CA 1979-324409
US 1978-899844 PATENT NO. KIND DATE DATE A2 19821221 A 19800108 A1 19820413 CA 1137988 US 4182856 CA 1121810 PRIORITY APPLN. INFO.: 19820107 19780425 19790329 19780425 CA 1979-324409 GI

Hydantoins I (R = amino, CO2H; n = 2-6) were prepd. as intermediates

the prepn. of reagents for detecting diphenylhydantoin and its salts in

biol. fluids by binding assays. Thus, 2-HOC6H4COPh was treated with N-(4-bromobuty1) phthalimide to give
2-(4-phthalimidebutoxy) benzophenone. This was cyclized with KCN and (NH4) 2CO3 to give I (R = NHCHO, n = 4), which was hydrolyzed to give I (R = NH2, n = 4).
IT 73304-28-3P RL: 5FN (Synthetic preparation) PREP (Preparation) (prepn. of, for diphenylhydantoin detn.)
RN 73304-28-3 CAPLUS CN 2H-1-Benzopyran-3-carboxamide, N-[4-(2,4-dioxo-5,5-diphenyl-1-imidazolidiny1) buty1]-7-(.beta.-b-galactopyranosyloxy)-2-oxo- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 35 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

L11 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

L11 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 198:50007 CAPLUS
POCUMENT NUMBER: 98:50007 CAPLUS
PS:0007 Regents and method of immunodetermination by fluorescence polarization using carboxyfluorescein Wang, Chao Huei Jeffrey: Stroupe, Stephen; Jolley, Michael Ernest
Abbott Laboratories, USA
Belg., 37 pp.
COUMENT TYPE: Patent
LANGUAGE: French
French
French
6

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 892158	A1	19820816	BE 1982-207329	19820216
AU 8280328	A1	19820826	AU 1982-80328	19820210
AU 555213	B2	19860918		
GB 2111476	A1	19830706	GB 1982-3971	19820211
GB 2111476	B2	19850403		
FR 2500165	A1	19820820	FR 1982-2502	19820216
FR 2500165	B1	19850614		
JP 57150680	A2	19820917	JP 1982-22907	19820217
JP 01023061	B4	19890428		
US 5066426	À	19911119	US 1984-644172	19840823
PRIORITY APPLN. INFO.	•		US 1981-235259	19810217
			US 1981-329974	19811211

US 1981-329974 19811221
AB An immunol, method and reagents are described for the detn. of ligands in,
e.g., body fluids by using fluorescence polarization and a tracer composed
of carboxyfluorescein (I) and an analog of the ligand (e.g., a drug, metabolite, hormone, or their derivs.) with a primary or secondary amino
group. A sample was incubated with the I tracer, a surfactant, and an antibody specific for the ligand and for the tracer, and the amt. of bound

antibody specific for the ligand and for the tracer, and the ant. of bound tracer was measured by fluorescence polarization. Thus, for the deth. of phenytoin in blood serum, a .beta.-aminoethyl-2-phenytoin-1 tracer was prepd. and mixed in a tube with sample dild. with Na phosphate buffer our Hq)

7.5) contg. .gamma.-globulin and NaN3. Antiserum was added, followed

incubation at room temp. for 15 min and measurement of fluorescence polarization, which decreased with increasing conces. of phenytoin. 84140-86-3P RESPAR (Synthetic preparation); PREP (Preparation) (prepn. of, for fluorescence-polarization immunoassay) 84140-86-3 CAPLUS Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-ar-carboxamide,

N-[2-(2,5-dioxo-4,4-diphenyl-1-imidazolidinyl)ethyl]-3',6'-dihydroxy-3-oxo-(9CI) (CA INDEX NAME)

L11 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:174695 CAPLUS
DOCUMENT NUMBER: 92:174695
TITLE: Reagents for use in binding samples in the

of diphenylhydantoin Buckler, Robert Thomas Miles Laboratories, Inc., USA Ger. offen., 43 pp. CODEN: GWXBX INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent German

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2914842	A1	19791031	DE 1979-2914842	19790411
DE 2914842	C2	19831117		
US 4182856	A	19800108	US 1978-899844	19780425
PRIORITY APPLN. INFO.	:		US 1978-899844	19780425

AB Reagents for detection of diphenylhydantoin (I) [57-41-0] and its salts

salts
in biol. fluids, by binding assays, are described. These reagents
include
 labeled I conjugates II (R = PhO and diphenylhydantoinyl) n = 2-6)
 directly used, and immunogens for prepg. I-specific antibodies. The
 labeled conjugates were prepd. by the reaction of a mixed anhydride
(from

(from
.beta.-galactosylumbelliferone-3-carboxylate and an alkyl
chloroformate)
yith either N1- or N3-(.omega.-aminoalkyl)diphenylhydantoin, or
[o-(.omega.-aminoalkoxy)phenyl]phenylhydantoin. The immunogen
conjugates
were prepd. by the reaction of N1- or N3-(.omega.carboxyalkyl)diphenylhydantoin, or [o-(.omega.carboxyalkyx)diphenylhydantoin, or [o-(.omega.carboxyalkoxy)phenyl]phenylhydantoin with a polyamino acid such as
albumin

umin
under conditions favorable to the formation of amide linkage. The
usefulness of these resgents was demonstrated.
73304-28-39 73461-84-49
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepa. of, for diphenylhydantoin detn.)
73304-28-3 CAPLUS

L11 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 2H-1-Benzopyran-3-carboxamide, N-[4-(2,4-dioxo-5,5-diphenyl-1-imidazolidinyl)butyl]-7-(.beta.-B-galactopyranosyloxy)-2-oxo- (9CI)

INDEX NAME)

Absolute stereochemistry.

73461-84-4 CAPLUS
2H-1-Benzopyran-3-carboxamide, N-[4-(2,5-dioxo-4,4-diphenyl-1-imidszolidinyl)butyl]-7-(.beta.-D-galactopyranosyloxy)-2-oxo- (9CI)

INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)
(from .beta.-galactosylumbelliferone-3-carboxylate and an alkyl
chloroformate) with either MI- or N3-(.omega.aminoalkyl)dphenylhydantoing, or [o-(.omega.aminoalkoxy)phenyl)phenylhydantoins. The immunogen conjugates were
preed.

prepd.

by the reaction of N1- or
N3-(.omega.-carboxyalky1)diphenylhydantoins, or
[o-(.omega.-carboxyalkoxy)phenyl]phenylhydantoins with a
polyaminoacid
such an albumin under conditions favorable to the formation of smide
linkages. The usefulness of these reagents was demonstrated.

17 73304-25-3P
D1. SND North to the conditions favorable to the formation of smide

73304-23-3P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepa. of, for diphenylhydantoin detn.)
73304-25-3 CAPLUS

73304-25-3 CAPLUS 2H-1-Benzoyran-3-carboxamide, N-[4-(2,4-dioxo-5,5-diphenyl-1-imidazolidinyl)butyl]-7-(.beta.-D-galactopyranosyloxy)-2-oxo- (9CI)

INDEX NAME)

Absolute stereochemistry.

LI1 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:157931 CAPLUS
DOCUMENT NUMBER: 292:157931
INTILE: Reagents for use in binding assays to determine diphenylhydantoin
BUCKler, Robert T.
BUCKler, Robert T.
Hiles Laboratories, Inc., USA
U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4182856	A	19800108	US 1978-899844	19780425
US 4194048	A	19800318	US 1978-967131	19781207
US 4213894	A	19800722	US 1978-967132	19781207
US 4213964	A	19800722	US 1978-967136	19781207
CA 1121810	Al	19820413	CA 1979-324409	19790329
DE 2914842	IA.	19791031	DE 1979-2914842	19790411
DE 2914842	C2	19831117		
GB 2021564	A	19791205	GB 1979-13979	19790423
GB 2021564	B2	19820804		
GB 2038838	A	19800730	GB 1980-1180	19790423
GB 2038838	B2	19820811		
GB 2039485	A	19800813	GB 1979-1179	19790423
GB 2039485	B2	19821020		
GB 2039484	A	19800813	GB 1980-1178	19790423
GB 2039484	B2	19821020		
CA 1137987	A2	19821221	CA 1982-393745	19820107
CA 1137988	A2	19821221	CA 1982-393746	19820107
CA 1142511	A2	19830308	CA 1982-393747	19820107
CA 1142512	A2	19830308	CA 1982-393748	19820107
PRIORITY APPLN. INFO	.:		US 1978-899844	19780425
			CA 1979-324409	19790329

Reagents for detection of diphenylhydantoin (I) [57-41-0] and its in biol. fluids, by binding assays, are described. They include labeled $\boldsymbol{\mathrm{I}}$ tabeled I conjugates directly used, and immunogen for prepg. I-specific antibodies.

The labeled conjugates were prepd. by the reaction of a mixed anhydride

L11 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:160117 CAPLUS
DOCUMENT NUMBER: 30:160117 CAPLUS
SILVEY halide color photographic yellow couplers
INVENTOR(S): Kawakatsu, Tetsur Yamashita, Kiyoshi
Mitsubishi Paper Hills, Ltd., Japan
Jpn. Kokai Tokkyo Koho, 10 pp.
DOCUMENT TYPE: Patent
Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Japanese 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53139534 JP 56007220	A2 B4	19781205 19810217	JP 1977-53671	19770512
PRIORITY APPLN. INFO.		15010217	JP 1977-53671	19770512

AB Compds. of the general formula I (R, R1, R2 = H, alkyl, aralkyl, aryl; R3

, R3 - H, or a group released during color development, R4 - halo, alkyl, alkoxy, the imidazolidinedionyl group is attached to 4- or 5-position

the benzene ring) are used as λg halide color photog, yellow couplers. The couplers exhibit good dispersion stability (i.e. do not form

ppts.,
etc.) and yield dye images having good light-fastness. Thus, the ow coupler II 4 .times. 10-8 mol was added to a Ag(Br,Cl) emulsion [AgCl

25
mol4, 20 g gelatin, 8 .times. 10-3 mol Ag(Br,Cl)] 400 g by using a conventional method and the emulsion was coated on a polyester film support to give a photog, film. The film was sensitometrically exposed and developed to give Dmax of 2.30.

ANSWER 39 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued) 69963-86-6 69963-97-7
RL: TEM (Technical or engineered material use), USES (Uses) (photog. yellow coupler) 69963-86-6 CAPLUS
Pentanamide, N-[2-chloro-5-{3-(2-ethylhexyl)-2,5-dioxol-

imidazolidinyl]phenyl]-4,4-dimethyl-3-oxo-2-[(2-oxo-2H-1-benzopyran-4-yl)oxy]- (9Cl) (CA INDEX NAME)

RN 69963-87-7 CAPLUS
CN Pentanamide,
N-[2-chloro-5-(3-dodecyl-2,5-dioxo-1-imidazolidinyl)phenyl]4,4-dimethyl-3-oxo-2-[(2-oxo-2H-1-benzopyran-4-yl)oxy]- (9CI) (CA INDEX NAME)

L11 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

69963-94-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
69963-94-6 CAPLUS
Pentanamide, N-[5-(4-buty1-3-octadecy1-2,5-dioxo-1-imidazolidiny1)-2-chloropheny1]-4,4-dimethy1-3-oxo-2-[(2-oxo-2H-1-benzopyran-4-y1)oxy](9CI) (CA INDEX NAME)

L11 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1977:464878 CAPLUS DOCUMENT NUMBER: 87:64878

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 3'-hydroxyl

Addition of a fluorescent label to the

terminal of DNA and to the 3'-hydroxyl terminal

nascent RNA chain Rosovskaya, T. A.; Bibilashvili, R. Sh.; AUTHOR(S): Tarusova, N.

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

JEOVAP, N.

E.; Gurskii, G. V.; Strel'tsov, S. A.

PORATE SOURCE: Inst. Mol. Biol., Moscow, USSR
RCE: Molekulyarnaya Biologiya (Moscow) (1977), 11(3),
598-610
CODEN: HOBIEO, ISSN: 0026-8984
JUNENT TYPE: Journal
SUAGE: Russian
Pluoresceinylisothiocyanate, Na salt, was reacted with glycylglycine

to form fluoresceinylaminothiocarbonylglycylglycine, which was converted to the Me ester with MeN2. With the aid of carbonyldiimidazole, this compd. was linked to UTP to form 3'(2')-0-fluoresceinylaminothiocarbonylglycylgly cyluridine-5-triphosphate (1). In some expts., 3H-labeled glycine was

was
inserted between the 2 glycyl residues of I with the aid of
carbonyldiimidazole. Rhodamine C was linked to UTP via
carbonyldiimidazole to form
3'(2')-O-thodaminyluridine-5'-triphosphate.
Calf thymus deoxyribonucleotide transferase was able to link
irreversibly
these UTP derivs. to the 3' end of DNA. They could also be linked
to the

he
growing RNA, on the 3' end, by Escherichia coli DNA-dependent RNA
polymetase, although some inhibition of RNA synthesis occurred.
64060-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)
(prepn. and reaction of, with UTP, DNA and RNA fluorescent
labeling in
relation to)
RN 64060-39-1 CAPLUS
CN Acetamide, 2-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-

[9H] xanthen] -5-y1) amino] thioxomethy1] amino] -N-[2-(1H-imidazol-1-y1) -2-oxoethy1] - (9CI) (CA INDEX NAME)

L11 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR(S): 85:213/1 N-(Heterocyclic-alkyl)-9-xanthenylamines Bender, Paul E., Loev, Bernard, Perchonock, Carl

Smithkline Corp., USA U.S., 6 pp. CODEN: USXXAM PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. A 1976 APPLICATION NO. US 3949076
PRIORITY APPLN. INFO.: US 1975-582055 US 1975-582055 19750529 19760406

AB Seven xanthenylamines I [R = H, Cl; Rl = H, Me, Et; R2 = imidazolylalkyl, inidazolinylalkyl, or 2-(1,4,5,6-tetrahydro-1-pyrimidinyl)ethyl; R3

OMe], useful as gastric acid secretion inhibitors and for treatment of

gastric and duodenal ulcers as indicated by tests in rats and

monkeys,
were prepd. by reaction of 9-acetoxyxanthenes with HNR1R2. Thus,
xanthydrol was treated with MeNCO in the presence of Et3N and the

stirred with AcOH in ether to give 9-acetoxyxanthene, which was

with 1-(2-aminoethyl)imidazole in C6H6 at reflux to give I [R = R1 = R3 =

H, R2 = 2-(1-imidazoly1)ethyl).

\$5543-83-89 55943-86-1P 55543-89-2P
RR: SPN (Synthetic preparation): PREP (Preparation)
(prepn. of, for use as gastric acid secretion inhibitor)

\$5543-83-8 CAPLUS
1H-Imidazole-1-propanamine, N, alpha.-dimethyl-N-9H-wanthen-9-yl-

(9CI) (CA INDEX NAME)

L11 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

L11 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2003 ACS (Continued)

59543-86-1 CAPLUS
IH-Imidazole-1-ethanamine,
dihydro-N.,alpha.,2-trimethyl-N-9H-xanthen9-yl- (9CI) (CA INDEX NAME)

59543-88-3 CAPLUS

1H-Imidazole-1-propanamine, N-ethyl-.alpha.-methyl-N-9H-xanthen-9-yl-(9CI) (CA INDEX NAME)

L11 ANSWER 42 OF 42 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1960:39142 CAPLUS
DOCUMENT NUMBER: 54:39142
ORIGINAL REFERENCE NO: 54:7739e-9
TITLE: INVENTOR(S): Kohletaedt, Erwin; Klingler, Karl H.; Genauck,
Wolfgang
PATENT ASSIGNEE(S): Chemiewerk Homburg Akt.-Ges.
Patent Type: 4

TALENT ASSIGNES(5): CREMEWORK FOODCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE

DE 970224 19580818

DE 970224 19580818 DE Schiff bases from 2-chromonecarboxylates and primary amines or mixts. thereof with substituted 2-chromonecarboxamides were treated with

mineral acids in the presence of H2O or org. solvents to give the title compds., useful se pharmaceuticals. Thus, PrNH2 12 was added portionwise with shaking to a cool mixt. of Bu 2-chromone carboxylate 5.6 and abs.

parts, the mixt. kept at room temp. 48 hra. with exclusion of air, then

ice H2O added slowly to give 4-propylimino-2-chromonecarboxylic acid propylamide, m. 99-100.degree., which treated with boiling 12t aq. HCl gave 2-chromonecarboxylic acid propylamide, m. 166.degree. Similarly were prepd. the following 2-chromonecarboxylic acid substituted amides (substituted amides (substituted amides (substituted am, p. given): Bu, 136-7.degree. PhGHZ, 176-8.degree. furfurly!, 183-4.degree. beta.-[7-theophyllinyl]ethyl, 286.degree. Similarly was prepd. 7-methoxy-2-chromonecarboxylic acid benzylamide,

m.

165-7.degree..

IT 109694-00-0, 4H-1-Benzopyran-2-carboxamide, 4-oxo-N-[2-(1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxopurin-7-yl)ethyl](prepn. of)

RN 109694-00-0 CAPLUS

CN 4H-1-Benzopyran-2-carboxamide,
4-oxo-N-[2-(1,2,3,6-tetrahydro-1,3-dimethyl2,6-dioxopurin-7-yl)ethyl]- (6CI) (CA INDEX NAME)

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	ENTRY	SESSION
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